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(19) (CA) APPLICATION FOR CANADIAN PATENT (12)

(54) N-Methylamides, Their Preparation and Intermediates  
Therefor and Control of Pests Therewith

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(57) 7 Claims

Notice: This application is as filed and may therefore contain an  
incomplete specification.

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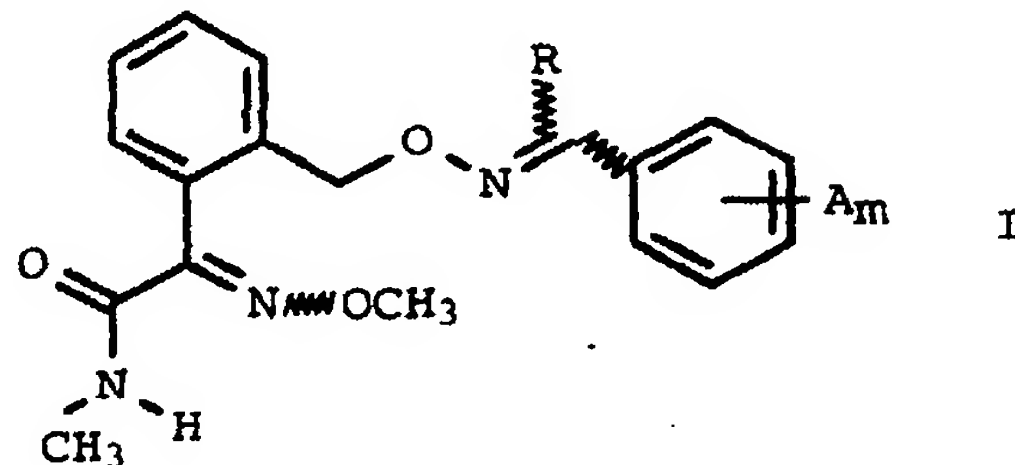
N-Methylamides, their preparation and intermediates therefor and control of pests therewith

## 5 ABSTRACT OF THE DISCLOSURE:

N-Methylamides of the formula I,

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where

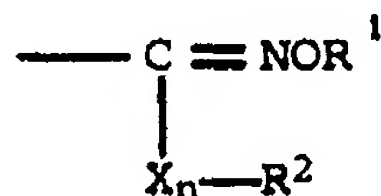
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R is C<sub>1</sub>-C<sub>3</sub>-alkyl or cyclopropyl,

A is hydrogen, halogen, cyano, nitro, alkyl, cycloalkyl, OR<sup>1</sup>, cycloalkyloxy, haloalkyl, haloalkyloxy, alkenyl, alkenyloxy, alkynyl, alkoxyalkyl, cyanoalkyl, nitroalkyl, phenyl, phenoxy, C(O)R<sup>1</sup>, CO<sub>2</sub>R<sup>1</sup>, C(O)NR<sup>1</sup>R<sup>2</sup>, C(S)NR<sup>1</sup>R<sup>2</sup>, NR<sup>1</sup>R<sup>2</sup>, NR<sup>1</sup>C(O)R<sup>2</sup>, NR<sup>1</sup>CO<sub>2</sub>R<sup>2</sup>, OC(O)R<sup>1</sup>, SR<sup>1</sup>, S(O)R<sup>1</sup>, S(O)<sub>2</sub>R<sup>1</sup>, -C(R<sup>1</sup>)=NR<sup>2</sup>, -N=CR<sup>1</sup>R<sup>2</sup>,

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where R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are hydrogen or C<sub>1</sub>-C<sub>6</sub>-alkyl and X is S, O or NR<sup>3</sup> and n is 0 or 1 or two of the groups A<sub>m</sub> in adjacent positions may together be -CH=CH-CH=CH- and

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m is 1, 2 or 3,

40 and fungicides containing these compounds.

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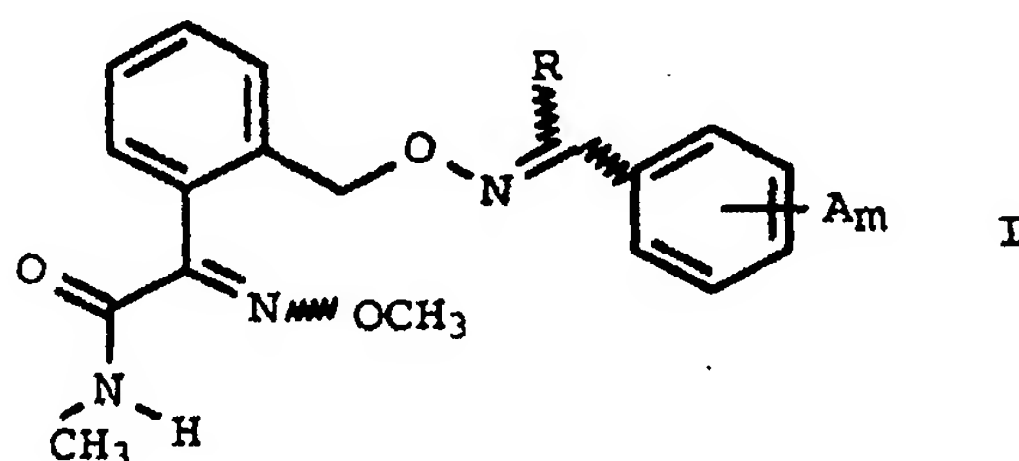
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N-Methylamides, their preparation and intermediates therefor and control of pests therewith

- 5 The present invention relates to novel N-methylamides, processes and intermediates for their preparation and processes for controlling pests, in particular fungi, insects, nematodes and spider mites, using these compounds.
- 10 It is known to use substituted N-methylamides as pesticides (cf. EP 463 488, EP 398 692). However, their action is unsatisfactory. It has surprisingly been found that N-methylamides of the general formula I

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- have an excellent fungicidal, insecticidal, nematocidal and acaricidal action which is better than that of the known N-methylamides.

The fungicidal action is preferred.

- 30 The radicals mentioned under the general formula I can have, for example, the following meanings:

R

- can be C<sub>1</sub>-C<sub>3</sub>-alkyl (eg. methyl, ethyl, n- or isopropyl) or cyclopropyl,

A

- can be identical or different and is hydrogen, halogen (eg. fluorine, chlorine, bromine or iodine), cyano, nitro, C<sub>1</sub>-C<sub>6</sub>-alkyl (eg. methyl, ethyl, n- or isopropyl, n-, iso-, sec- or tert-butyl, n-pentyl, neopentyl, tert-pentyl or hexyl),

or C<sub>3</sub>-C<sub>6</sub>-cycloalkyl (eg. cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl),

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OR<sup>1</sup>

(eg. hydroxyl, methoxy, ethoxy, n-propoxy, isopropoxy, n-, iso-, sec- or tert-butoxy, n-pentoxo, tert-pentoxo, neopentoxo or n-hexoxy),

5

C<sub>3</sub>-C<sub>6</sub>-cycloalkoxy (eg. cyclopropoxy, cyclobutoxy, cyclopentoxo or cyclohexoxy),

10 C<sub>1</sub>-C<sub>6</sub>-haloalkyl (eg. trifluoromethyl, 2-fluoroethyl, 2,2,2-trifluoroethyl, pentafluoroethyl, fluorodichloromethyl, difluorochloromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-chloroethyl, 2,2,2-trichloroethyl, pentachloroethyl or 3-chloro-2-methylprop-2-yl),

15 C<sub>1</sub>-C<sub>6</sub>-haloalkoxy (eg. difluoromethoxy, trifluoromethoxy, pentafluoroethoxy, 1,1,2,2-tetrafluoroethoxy or 2,2,2-trifluoroethoxy),

20 C<sub>2</sub>-C<sub>6</sub>-alkenyl (vinyl, 1-propen-1-yl, 2-propenyl, 2-butenyl, 1-methyl-2-propenyl, allyl, but-2-en-2-yl),

C<sub>2</sub>-C<sub>6</sub>-alkenyloxy (eg. vinyloxy or allyloxy),

C<sub>2</sub>-C<sub>6</sub>-alkynyl (ethynyl, 1-propynyl or 3-propynyl),

25

C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>6</sub>-alkyl (eg. methoxymethyl, ethoxymethyl, dimethoxymethyl, 1,1-dimethoxyeth-1-yl), n- or isopropoxymethyl, n-, iso-, sec- or tert-butoxymethyl, 1-methoxy-2-methylprop-2-yl, 2-methoxyprop-2-yl, 2-ethoxyprop-2-yl, 2-n- or isopropoxy-  
30 prop-2-yl, 2-n-, iso-, sec- or tert-butoxyprop-2-yl),

cyano-C<sub>1</sub>-C<sub>6</sub>-alkyl (eg. cyanomethyl, 1-cyano-2-methylprop-2-yl or 2-cyanoethyl),

35 nitro-C<sub>1</sub>-C<sub>6</sub>-alkyl (eg. nitromethyl),

phenyl or phenoxy,

40 C(O)R<sup>1</sup> (eg. formyl, acetyl, propionyl, butyryl, isobutyryl or pivaloyl),

CO<sub>2</sub>R<sup>1</sup> (eg. hydroxycarbonyl, methoxycarbonyl, ethoxycarbonyl, n- or isopropoxycarbonyl, n-, iso-, sec- and tert-butoxycarbonyl),

45 C(O)NR<sup>1</sup>R<sup>2</sup> (eg. aminocarbonyl, N-methylaminocarbonyl, dimethylaminocarbonyl or diethylaminocarbonyl),

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C(S)NR<sup>1</sup>R<sup>2</sup> (eg. aminothiocarbonyl, N-methylaminothiocarbonyl or N,N-dimethylaminothiocarbonyl),

NR<sup>1</sup>R<sup>2</sup> (eg. amino, N-methylamino, N-ethylamino, N-isopropylamino, N-n-propylamino, N-n-butylamino, N-sec-butylamino, N-tert-butylamino, N-isobutylamino or N,N-dimethylamino),

NR<sup>1</sup>C(O)R<sup>2</sup> (eg. N-acylamino, N-methyl-N-acylamino or N-ethyl-N-acylamino),

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NR<sup>1</sup>CO<sub>2</sub>R<sup>2</sup> (eg. N-carboxymethylamine or N-methyl-N-carboxymethylamine),

OC(O)R<sup>1</sup> (eg. OC(O)methyl, OC(O)ethyl, OC(O)n-propyl, OC(O)i-propyl, OC(O)n-butyl or OC(O)tert-butyl),

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SR<sup>1</sup> (eg. S-H, S-methyl, S-ethyl, S-n-propyl, S-i-propyl, S-n-butyl, S-sec-butyl, S-isobutyl, S-tert-butyl, S-n-pentyl or S-n-hexyl),

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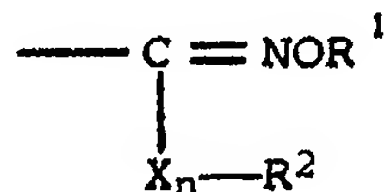
S(O)R<sup>1</sup> (eg. S(O)-methyl, S(O)-ethyl or S(O)n-propyl,

SO<sub>2</sub>R<sup>1</sup> (eg. SO<sub>2</sub>-methyl or SO<sub>2</sub>-ethyl),

25 -C(R<sup>1</sup>)=NR<sup>2</sup> (eg. -CH=NH, -CH=N-methyl, -CH=N-ethyl, -C(CH<sub>3</sub>)=NH, -C(CH<sub>3</sub>)=NCH<sub>3</sub>),

-N=CR<sup>1</sup>R<sup>2</sup> (eg. -N=C(CH<sub>3</sub>)<sub>2</sub>, -N=C(CH<sub>3</sub>)(ethyl)),

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(eg. methoxyiminomethyl, ethoxyiminomethyl, n-propoxyiminomethyl, n-butoxyiminomethyl, methoxyimino-1-ethyl, ethoxyimino-1-ethyl, n-propoxyimino-1-ethyl, n-butoxyimino-1-ethyl, i-propoxyimino-1-ethyl, sec-, iso- or tert-butoxyimino-1-ethyl, n-pentoxyimino-1-ethyl, n-hexoxyimino-1-ethyl, -C(OMe)=NOMe, -C(SMe)=NOMe, -C(NH<sub>2</sub>)=NOMe, -C(NMe<sub>2</sub>)=NOMe, -C(NHMe)=NOMe, -C(OEt)=NOEt, -C(SMe)=NOEt), with the exception of compounds of the formula I in which R is methyl and A<sub>m</sub> is 2-chloro, 3-chloro, 4-chloro, 3,5-dichloro, 2,3,4-trichloro, 2-methyl, 4-methyl, 3-bromo, 4-nitro or hydrogen and with the exception of compounds of the formula I in which R is cyclopropyl and A<sub>m</sub> is hydrogen, 4-chloro, 4-tert-butyl or 4-methoxy.

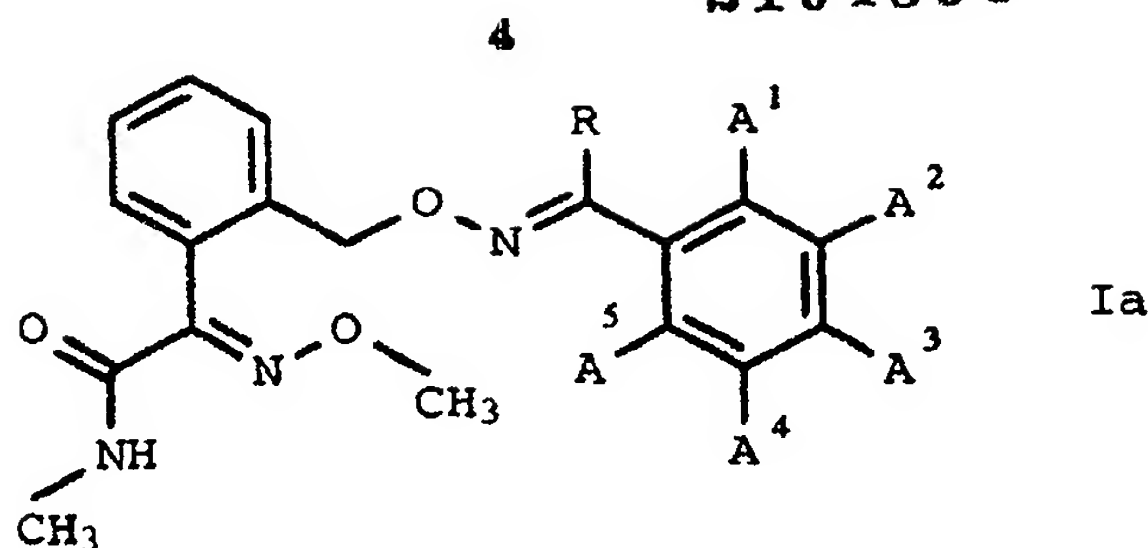
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The invention also relates to compounds of the formula Ia

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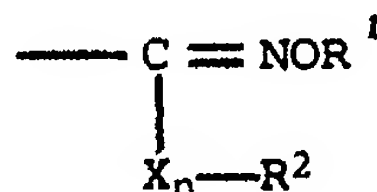
where

R is methyl, ethyl, n-propyl or isopropyl,

- 15  $A^1, A^2, A^3, A^4$  and  $A^5$  are identical or different and are hydrogen, halogen, cyano, nitro,  $C_1-C_6$ -alkyl,  $C_3-C_6$ -cycloalkyl,  $OR^1$ ,  $C_3-C_6$ -cycloalkoxy,  $C_1-C_6$ -haloalkyl,  $C_1-C_6$ -haloalkoxy,  $C_2-C_6$ -alkenyl,  $C_2-C_6$ -alkenyloxy,  $C_2-C_6$ -alkynyl,  $C_1-C_6$ -alkoxy- $C_1-C_6$ -alkyl, cyano- $C_1-C_6$ -alkyl, nitro- $C_1-C_6$ -alkyl, phenyl, phenoxy,  $C(O)R^1$ ,  $CO_2R^1$ ,  
 20  $C(O)NR^1R^2$ ,  $C(S)NR^1R^2$ ,  $NR^1R^2$ ,  $NR^1C(O)R^2$ ,  $NR^1CO_2R^2$ ,  $OC(O)R^1$ ,  $SR^1$ ,  $S(O)R^1$ ,  $S(O)_2R^1$ , the groups

$-C(R^1)=NR^2$ ,  $-N=CR^1R^2$ ,

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- 30 where the radicals  $R^1$ ,  $R^2$  and  $R^3$  independently of one another are hydrogen or  $C_1-C_6$ -alkyl and X is S, O or  $NR^3$  and n is 0 or 1, or two of the groups  $A^1$  to  $A^5$  in adjacent positions are the group  $-CH=CH-CH=CH-$

35 with the proviso that

- a) two to four of the substituents  $A^1$  to  $A^5$  are hydrogen,  
 b) two to three of the substituents  $A^1$  to  $A^5$  are hydrogen if one  
 40 of the substituents  $A^1$  to  $A^5$  is Cl, Br,  $NO_2$  or  $CH_3$ ,  
 c) one of the halogen atoms is F or Br if  $A^2+A^4$  or  $A^1+A^2+A^3$  are simultaneously halogen.

- 45 Preferred substituents for R are methyl and ethyl, in particular methyl, and for A or  $A^1$  to  $A^5$  are hydrogen, fluorine, chlorine, bromine, methyl, ethyl, isopropyl, tert-butyl, tert-pentyl, cyano, methoxy, ethoxy, isopropoxy, tert-butoxy, tert-pentoxy, me-

thoxymethyl, 2-methoxyprop-2-yl, trifluoromethyl, 1,1,2,2tetrafluoroethyl, 1-chloro-2-methylprop-2-yl and trifluoromethoxy.

Preferred compounds are those whose substituents A other than hydrogen are in the positions A<sup>2</sup>, A<sup>3</sup> or A<sup>4</sup>.

Preferred compounds in this case are those which result if the substituents other than hydrogen represent the following substitution pattern.

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- a) A<sup>2</sup> (or A<sup>4</sup>) ≠ H; all other substituents = H
  - b) A<sup>3</sup> ≠ H; all other substituents = H
  - c) A<sup>2</sup> and A<sup>3</sup> (or A<sup>3</sup> and A<sup>4</sup>) ≠ H; all other substituents = H
  - d) A<sup>2</sup> and A<sup>4</sup> ≠ H; all other substituents = H
  - 15 e) A<sup>2</sup> and A<sup>3</sup> and A<sup>4</sup> ≠ H; all other substituents = H
- (≠ means: other than)

Particularly preferred substitution patterns are those with the substituents A<sup>2</sup>, A<sup>3</sup> and A<sup>4</sup> which are given above as preferred.

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On account of the C=N double bonds, the novel compounds of the general formula I can be obtained as E/Z isomer mixtures. These can be separated into the individual components in conventional manner, for example by crystallization or chromatography. Both

25 the individual isomeric compounds and their mixtures are covered by the invention and can be used as pesticides. As a rule, however, the compounds according to the invention are mainly obtained in the (E, E) configuration. These isomers are also preferred with respect to their action.

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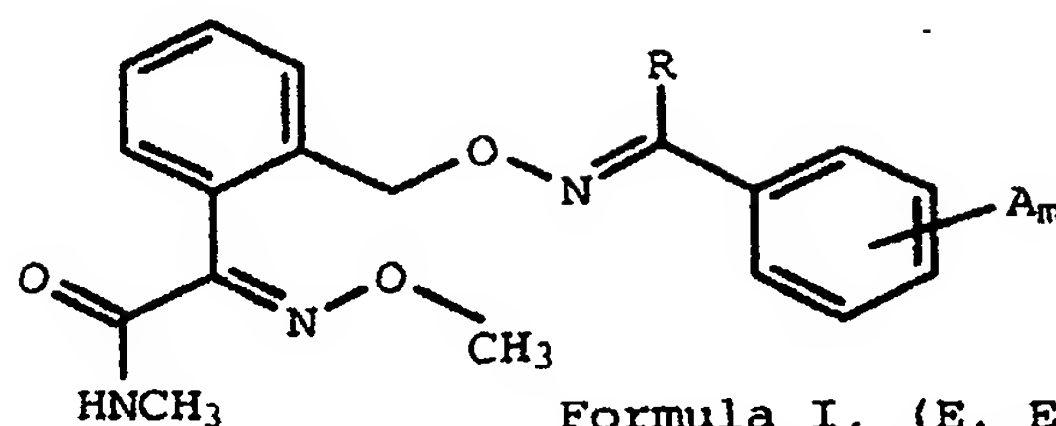
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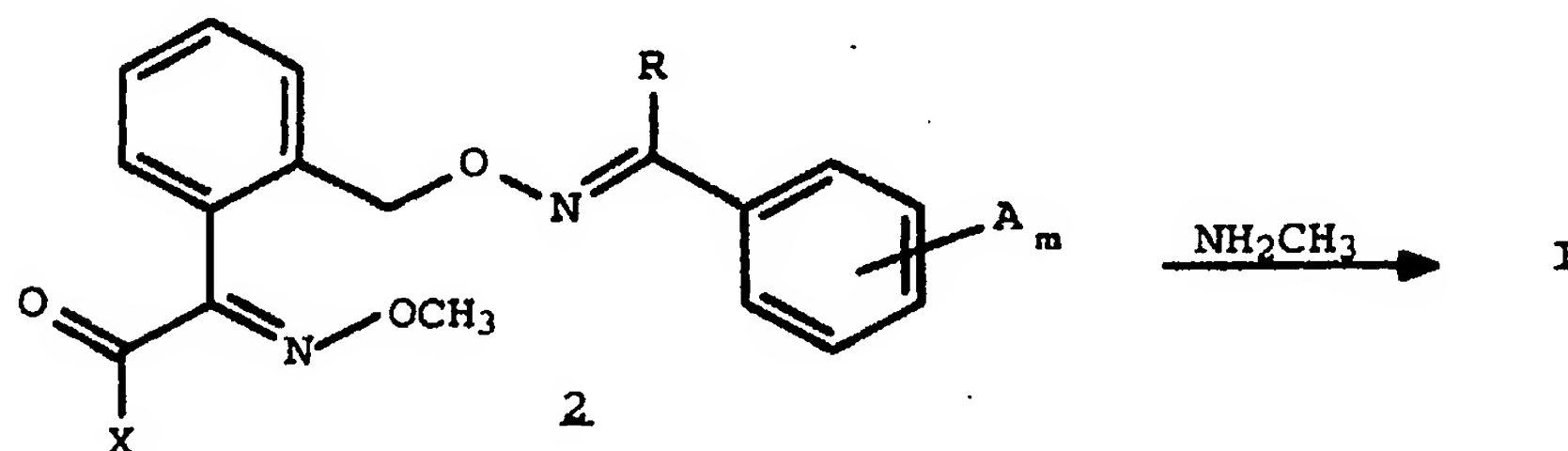
Formula I, (E, E)-configuration

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The novel compounds of the formula I can be prepared, for example, as follows:

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Starting from the compounds of the formula 2, where X = OH, Cl or  
 25 O(C<sub>1</sub>-C<sub>4</sub>-alkyl) [disclosed in EP 463 488, 472 300 and 426 460], the monomethylamides I according to the invention can be obtained analogously to methods known from the literature by reaction with methylamine (cf. Organikum; 16th edition (1985) p. 408 et seq).

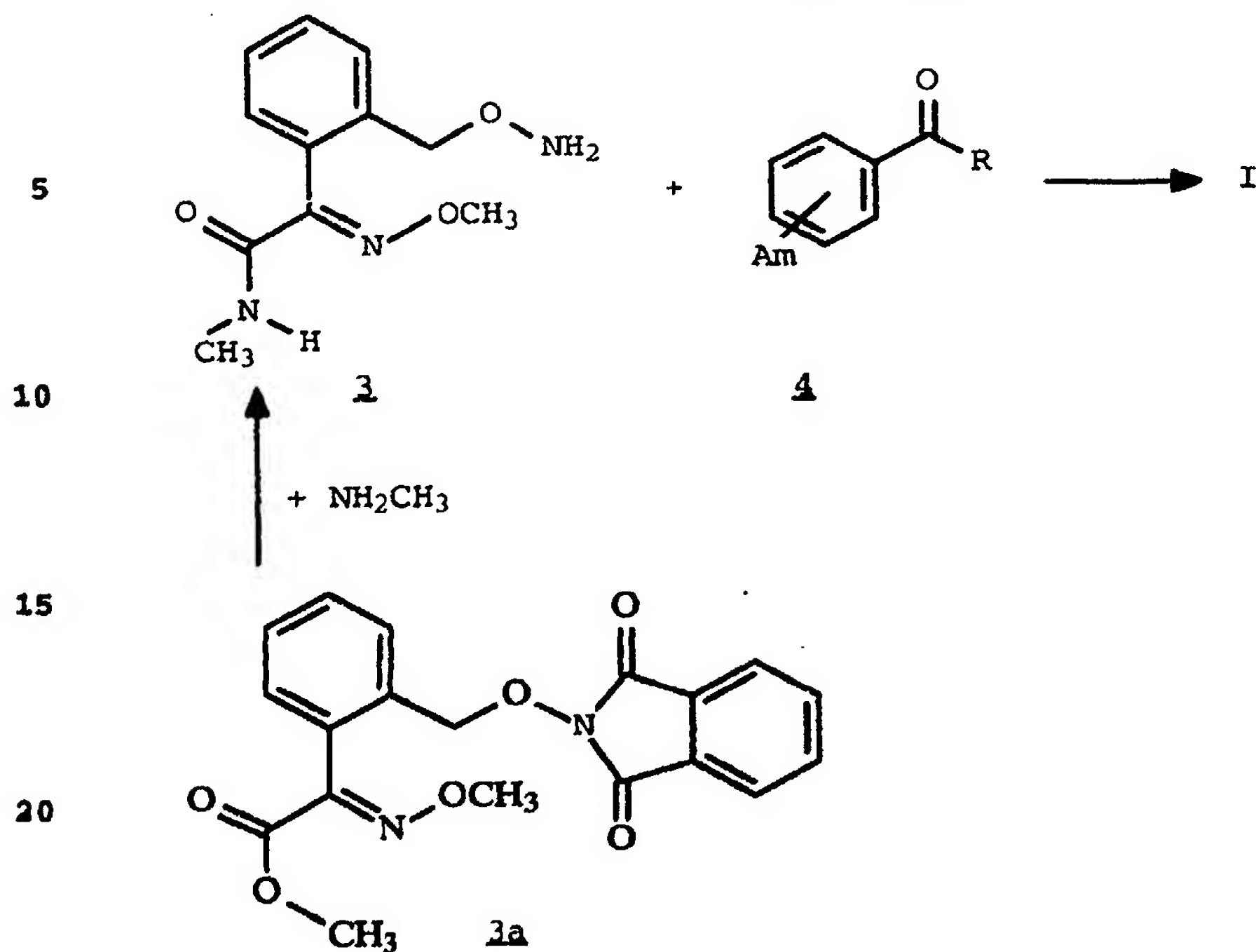
30 A particularly advantageous method of preparing the compounds I is to react O-benzylhydroxylamine 3 with the phenylketones 4 (cf. D. Otzanak, J. Chem. Soc., Chem. Commun. 1986, 903). The intermediate 3 required for this can surprisingly be prepared particularly smoothly and advantageously in a simple reaction by triple  
 35 aminolysis of 3a (with methylamine).

This one-step reaction procedure 3a → 3 avoids the conventional more involved and more elaborate two-step procedure, eg. setting free the O-benzylhydroxylamine group from the phthalimide protective group with the aid of hydrazinolysis and beforehand or subsequently converting the methyl ester group to the methylamide. The high yields of this reaction are surprising, although normally the optimum conditions are very different on the one hand  
 40 for the aminolysis of a methyl ester to the methylamide and on 45 the other hand for setting free an O-benzylhydroxylamine from the corresponding O-benzylhydroxyphthalimide.



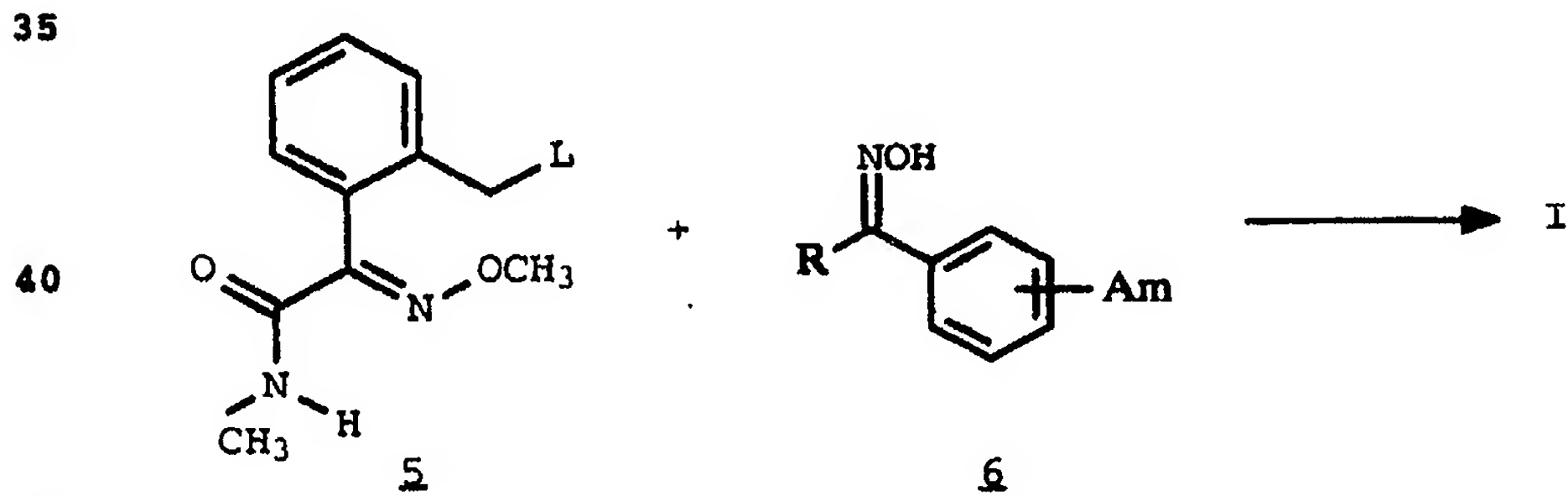
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The phenylketones **4** are known or can be prepared analogously to known processes (Houben-Weyl, Vol. 7/2a and 7/3a).

The novel compounds of the formula I are also obtained by reacting a monomethylamide benzyl compound **5**, in which L is a leaving group (eg. bromine, chlorine, iodine, mesylate, tosylate or triflate) (cf., eg. EPs 398 692 and 463 488), with an oxime of the formula **6** (cf., eg. Houben-Weyl, Vol. 10/1, p. 1186 et seq).

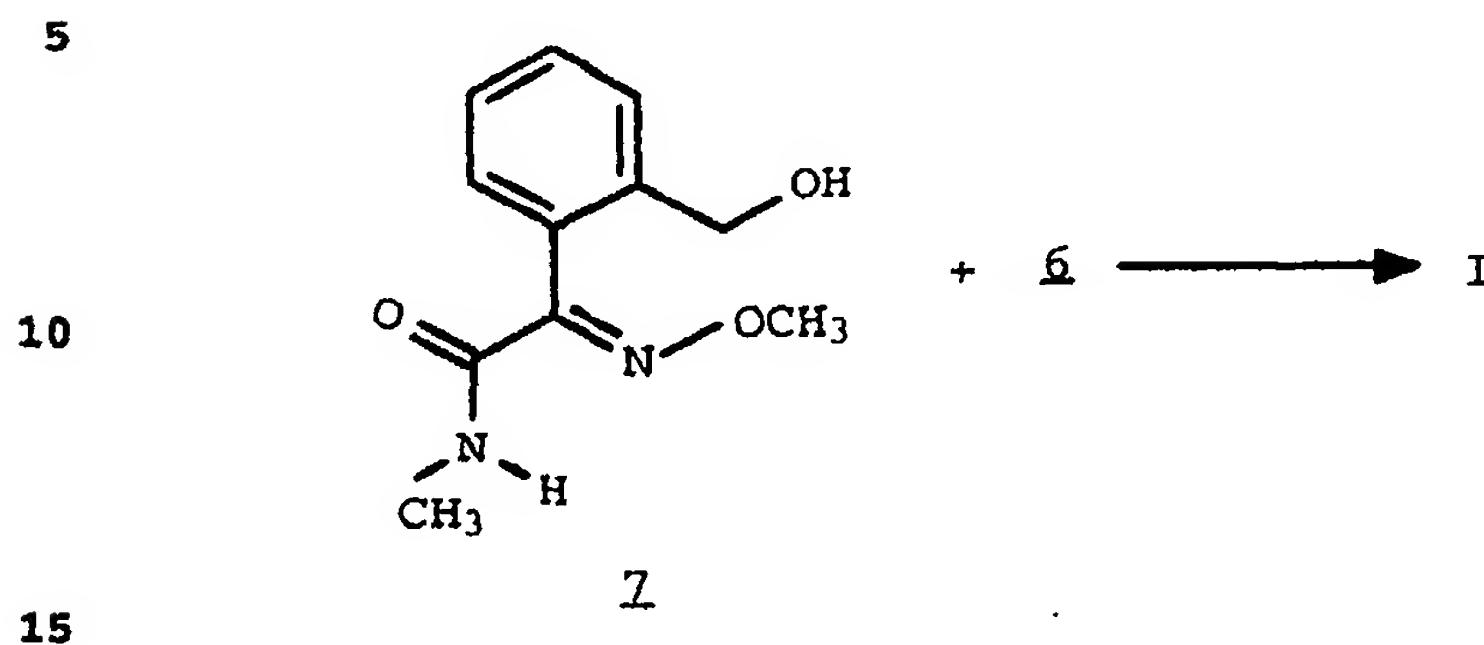


The oximes **6** are known or can be prepared by known processes (cf. Houben-Weyl, Vol. 10/4; J. Med. Chem. **26**, (1983) 1360).

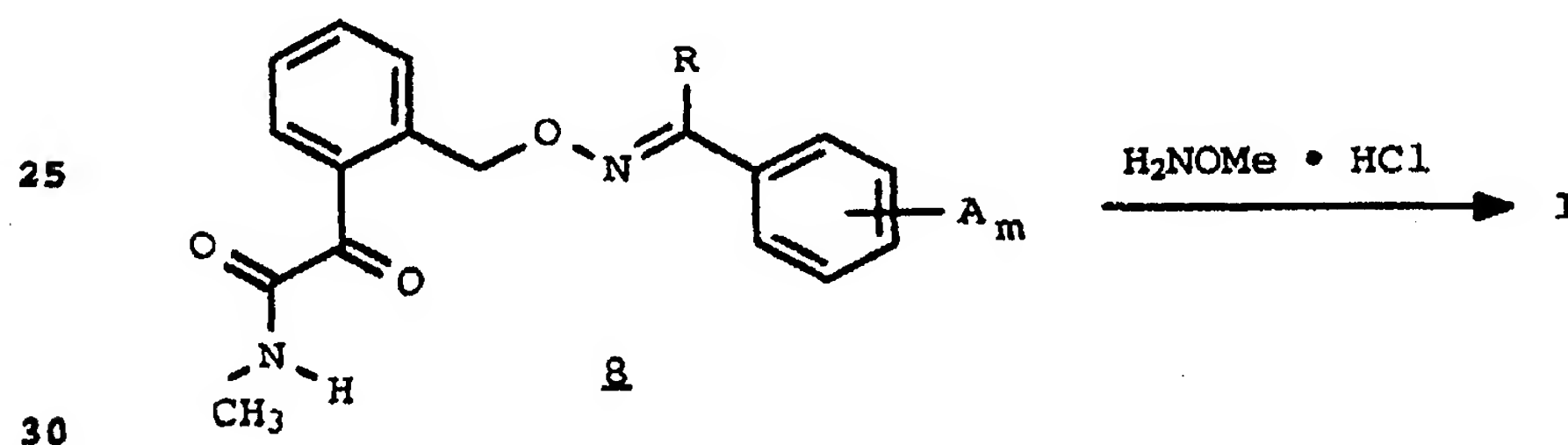
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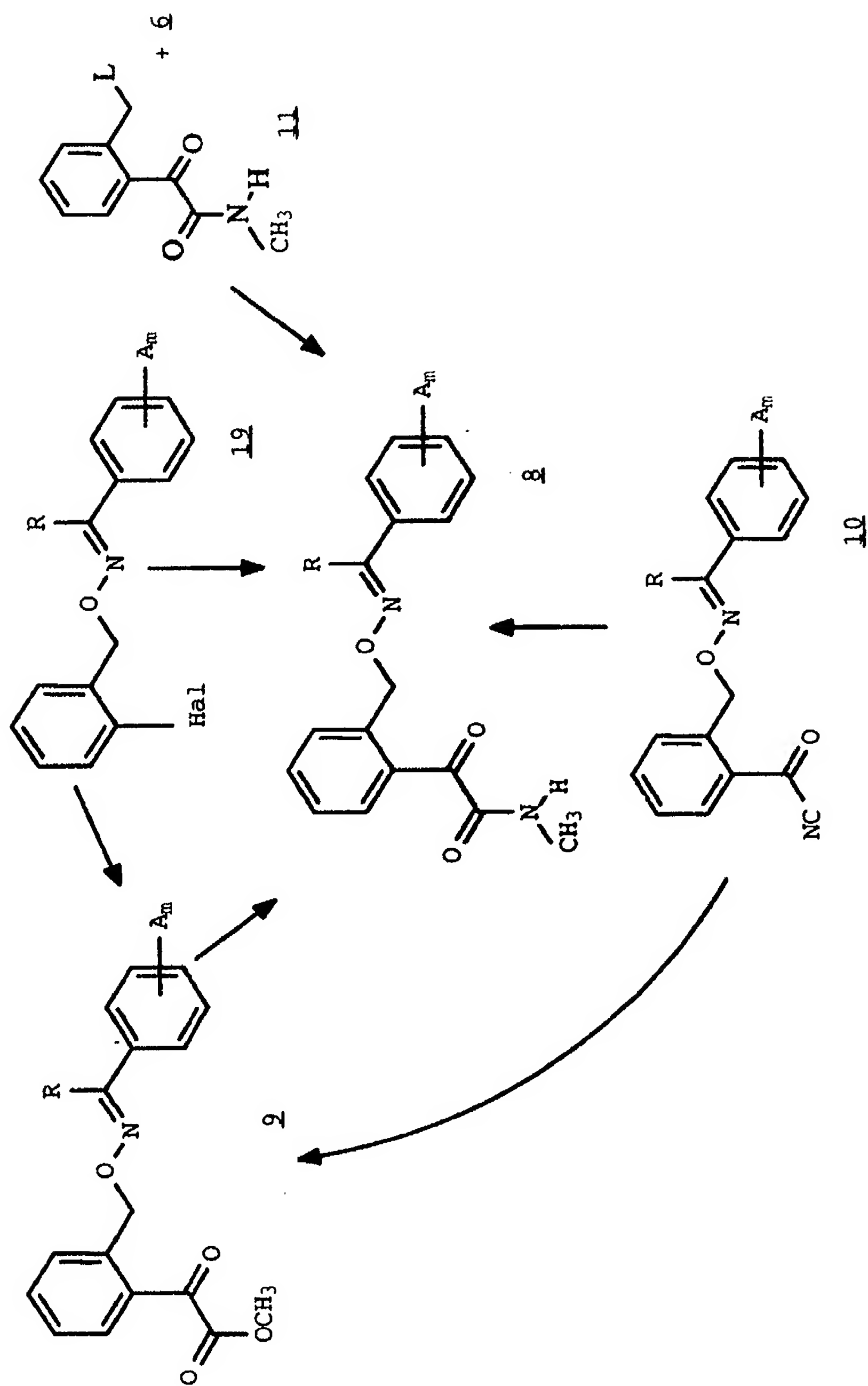
The novel compounds of the formula I can furthermore be prepared by reacting the benzyl alcohol 7 with oximes 6 in conventional manner (cf. J. Jurczak, Synthesis, 1976, 682).



Alternatively, for this purpose the ketoamides 8 can be reacted in conventional manner with methoxylamine (hydrochloride) to give the novel compounds I [cf., eg. DE 3623921).



The ketoamides 8 themselves can be obtained, for example, from the ketoesters 9 by aminolysis, the ketoesters 9 themselves being accessible either by Pinner reaction from benzoyl cyanides 10 or by reaction of oximes 6 with benzyl compounds 11.



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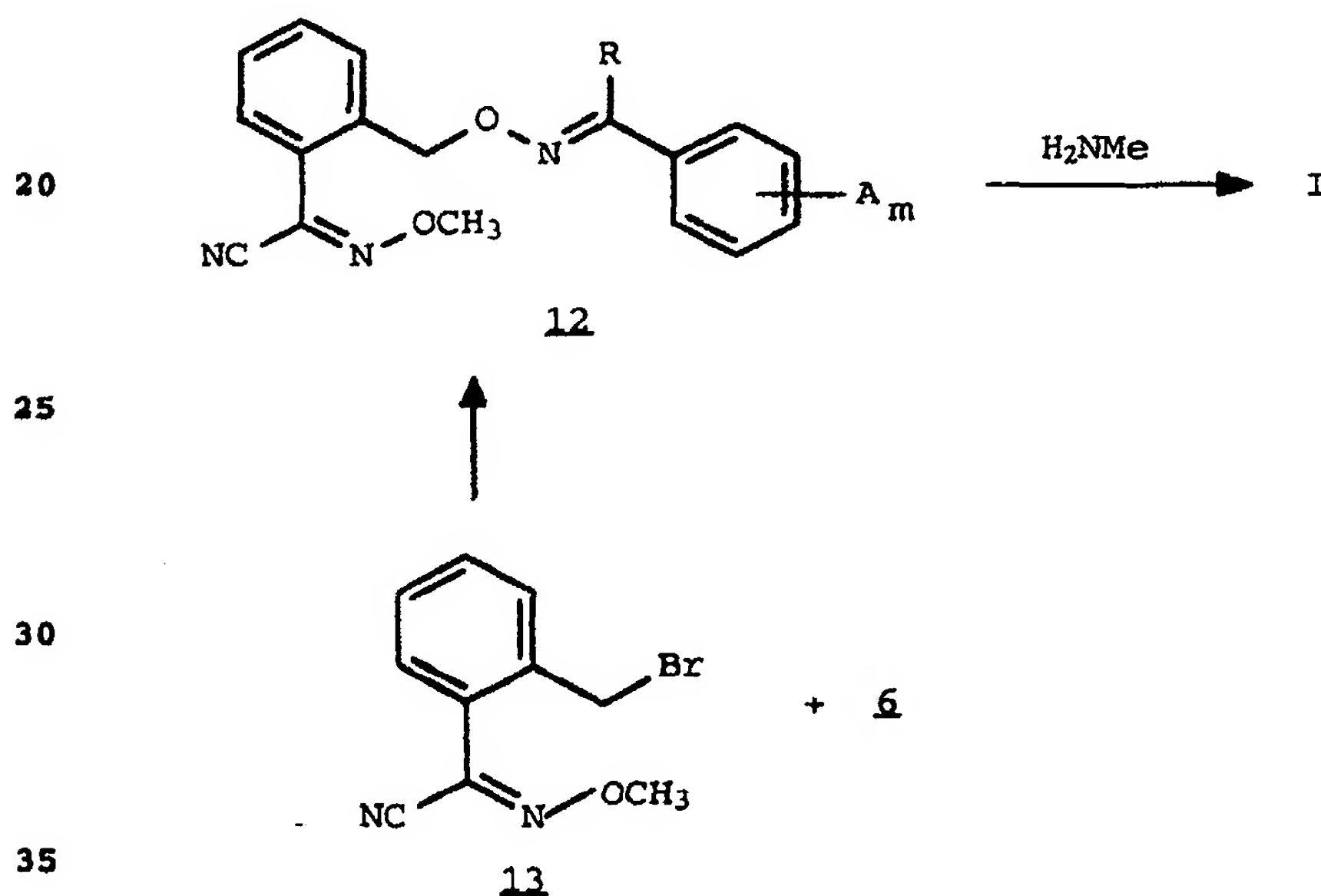
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Suitable further starting materials for the preparation of the ketoamides 8 or of the ketoesters 9 are aryl halides 19 (Hal = chlorine, bromine or iodine). These can be converted into the corresponding organometal by metallization (eg. with magnesium, methylmagnesium bromide, sodium or n-butyllithium), which can then be reacted with oxalic acid derivatives (e.g., oxalic acid diester, oxalic acid ester N-methylamide) to give the products 8 or 9 (cf., eg. L.M. Weinstock, Synth. Commun. 11, (1981) 943).

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The cyanooxime ethers 12 can also be converted with methylamine in conventional manner into the novel compounds of the formula I (cf. EP 468 775).

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The cyanooxime ethers 12 are obtained, for example, according to known processes by reaction of oximes 6 with benzyl bromide 13.

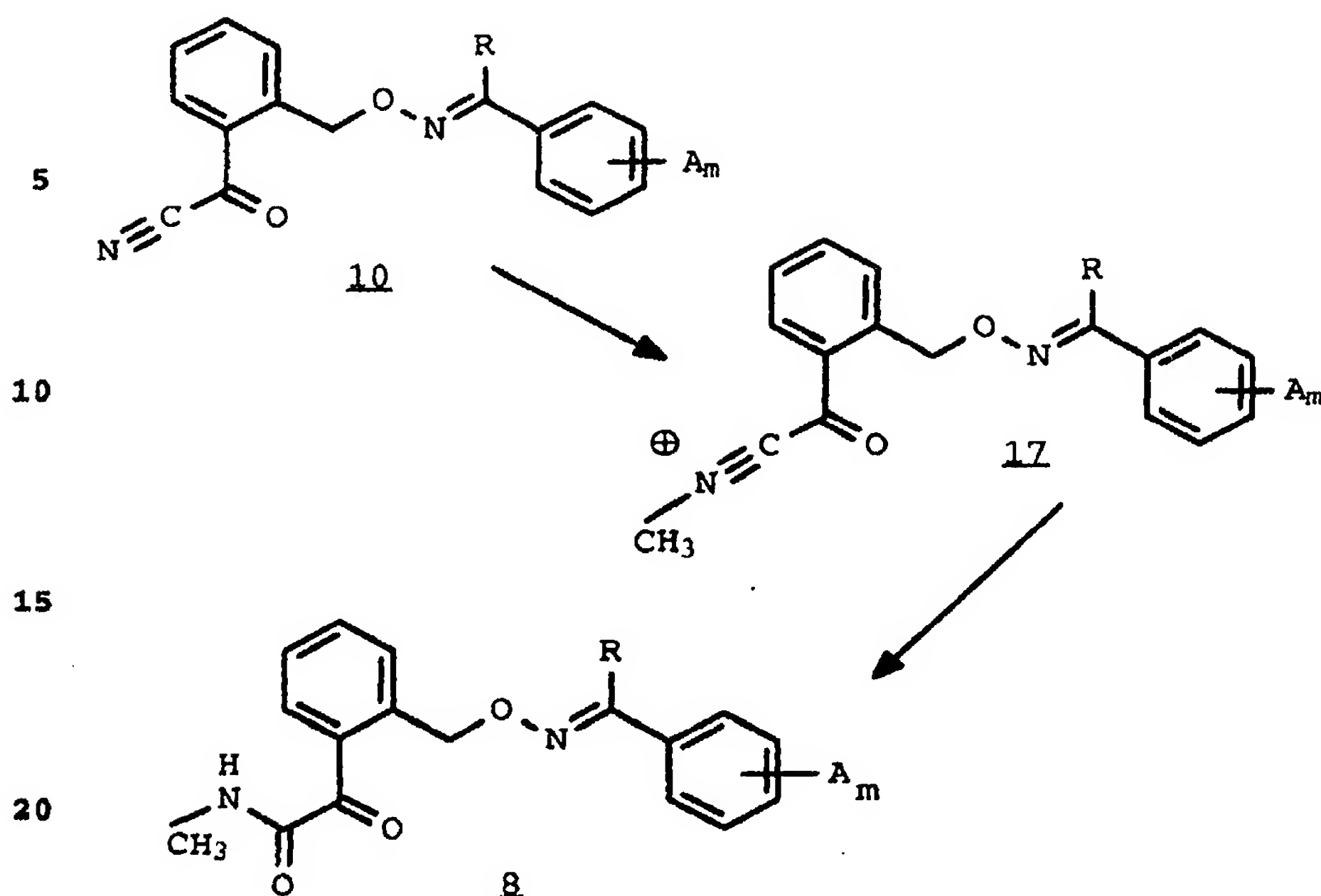
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The ketoamides of the formula 8 can additionally be obtained in a simple manner from nitrilium salts 17 by hydrolysis either in acidic or in alkaline solution (cf. Houben-Weyl, Vol. E5/part 2, p. 1580 et seq).

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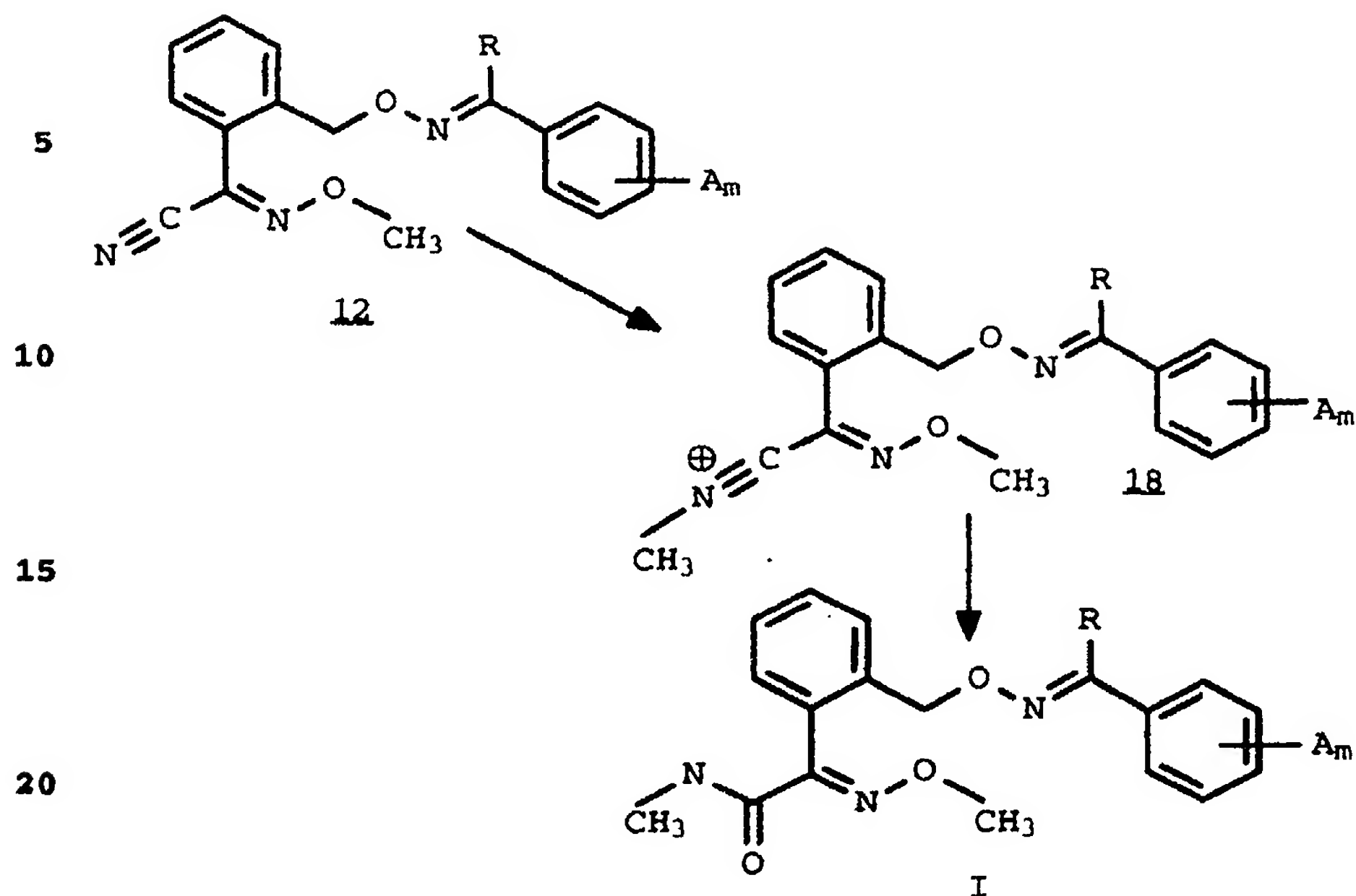


The nitrilium salts of the formula 17 are easily accessible, for example, from compounds of the formula 10 by reaction with trimethyloxonium salts such as, for example, trimethyloxonium tetrafluoroborate or by reaction of 10 with, for example, methyl trifluoromethanesulfonate (cf. Houben-Weyl, Vol. E5/part 2, pp. 1573-6).

In a corresponding manner, the compounds of the general formula I can also be obtained easily from nitrilium salts of the formula 18 by hydrolysis in acidic or alkaline solution (cf. Houben-Weyl, Vol. E5/part 2, p. 1580 et seq).

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The nitrilium salts of the formula 18 in turn are easily accessible, for example, from compounds of the formula 12 by reaction with trimethyloxonium salts such as, for example, trimethyloxonium tetrafluoroborate or by reaction of 12 with, for example, methyl trifluoromethanesulfonate (cf. Houben-Weyl, Vol. E5/part 2, pp. 1573-6).

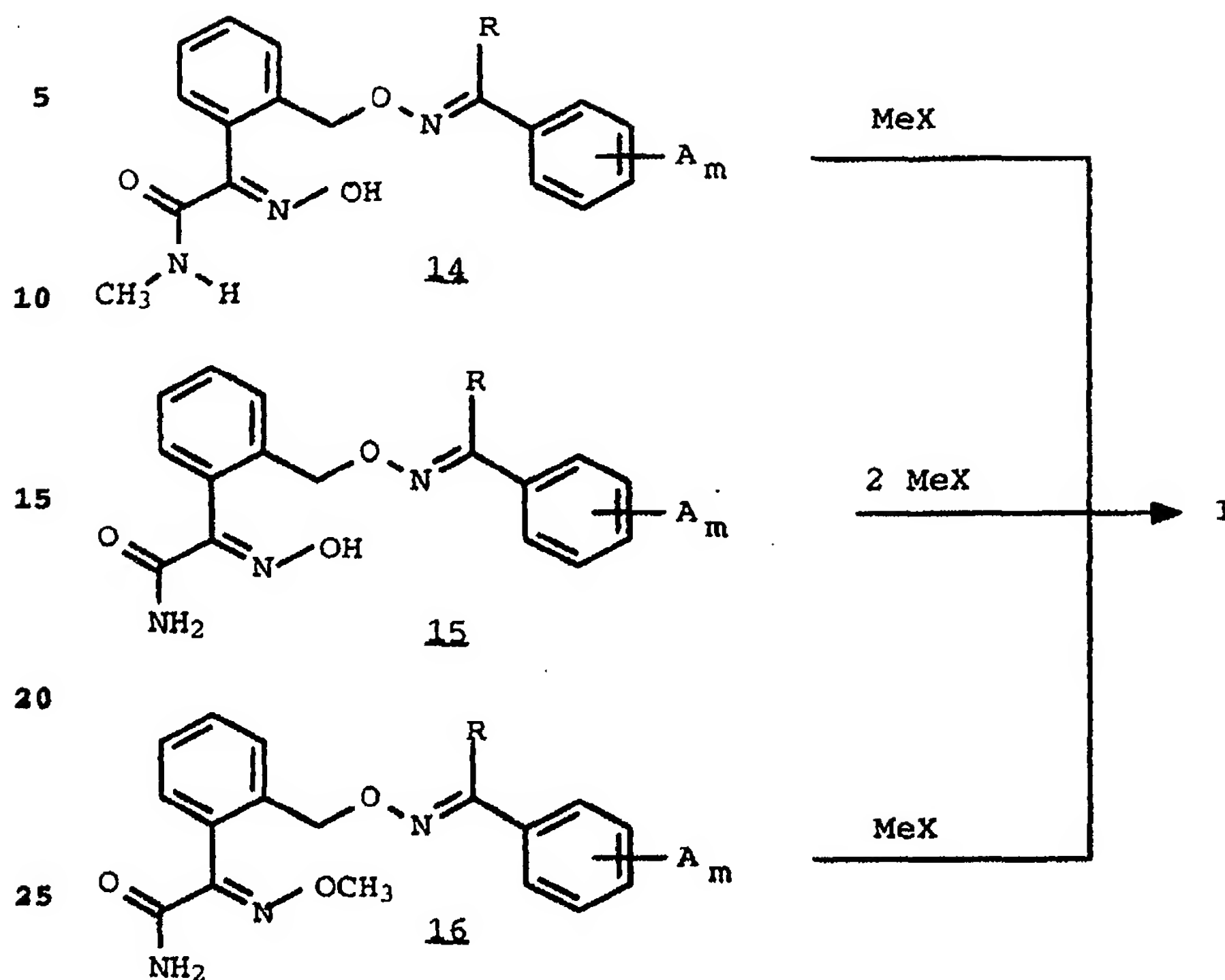
The novel compounds of the formula I can also be prepared by methylation of suitable intermediates such as, for example, 14 - 16.

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30 Alkylation expediently takes place in the presence of a base such as, for example, KOH, K<sub>2</sub>CO<sub>3</sub> or triethylamine. Suitable alkylating agents are methyl halides or dimethylsulfate (cf. for example Houben-Weyl, vol. X/1 pp. 1186 ff; or G. L. Isele, Synthesis, 266 (1971)).

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The substituents R and A<sub>m</sub> shown in the formulae 2 - 19 have the meanings given in claim 1. The substituent L is a leaving group such as, for example, halogen (chlorine, bromine or iodine), tosylate, mesylate or triflate.

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The following examples are intended to illustrate the preparation of the novel active compounds and of the novel intermediates:

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## EXAMPLE 1

Preparation of methyl E-2-methoxyimino-2-(2'-phthalimidooxymethyl)phenylacetate

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59 g (0.58 mol) of triethylamine is added dropwise to a solution of 150 g (0.52 mol) of methyl 2-methoxyimino-2-(2'-bromomethyl)phenylacetate and 85 g (0.52 mol) of N-hydroxyphthalimide in 350 ml of N-methylpyrrolidone. The reaction mixture is stirred at 10 70°C for 2 h and poured into 2 l of ice-water, and the precipitated crystals are filtered off with suction and taken up in methylene chloride. After the organic phase has been washed with water and dried over sodium sulfate, and the solvent has been removed on a rotary evaporator, 168 g (88% yield) of methyl E-2-methoxyimino-2-(2'-phthalimidooxymethyl)phenylacetate is obtained 15 as a pale gray powder of melting point 152 - 153°C.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>)                      δ = 3.81 (s, 3H); 3.95 (s, 3H);  
5.05 (s, 2H); 7.12 - 7.81 (m, 8H) ppm.

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## EXAMPLE 2

Preparation of N-methyl-E-2-methoxyimino-2-(2'-aminooxymethyl)phenylacetamide

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168 g (0.46 mol) of methyl E-2-methoxyimino-2-(2'-phthalimidooxymethyl)phenylacetate is treated with 1 l of 40% strength aqueous monomethylamine solution and the mixture is stirred at 40°C for 4 hours. After cooling, it is extracted with methylene chloride, 30 and the organic phase is washed with water, dried over sodium sulfate and concentrated. After crystallization of the residue from methyl tert-butyl ether/n-hexane, 92 g (84% yield) of N-methyl-E-2-methoxyimino-2-(2'-aminooxymethyl)phenylacetamide is obtained as pale yellow crystals of melting point 78 - 81°C. The 35 mother liquor contains further final product.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>):                      δ = 2.91 (d, 3H); 3.94 (s, 3H); 4.58  
(s, 2H); 5.28 (s, br, 2H); 6.88 (s, br,  
1H); 7.14 - 7.43 (m, 4H) ppm.

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## EXAMPLE 3

Preparation of N-methyl-2-methoxyimino-2-[2'-(1''-(4'''-tert-butylphenyl)-1''-methyl)iminooxymethyl]phenylacetamide

5 ((E,E) isomer: Tab. 1, No. 118)

A mixture of 4.3 g (18 mmol) of N-methyl-E-2-methoxyimino-2-(2'-aminooxymethyl)phenylacetamide, 3.2 g (18 mmol) of 4-tert-butylacetophenone and 1.0 g of molecular sieve (3 Å) in  
10 10 ml of methanol is treated with 100 mg of p-toluenesulfonic acid monohydrate and stirred at room temperature (20°C) for 16 hours.

After filtering off the molecular sieve, the mixture is concentrated and the residue is crystallized from methyl tert-butyl  
15 ether/n-hexane. 4.8 g (68% yield) of the (E,E) isomer of the title compound is thus obtained as colorless crystals of melting point 107 - 110°C.

The stereochemistry of the compound is confirmed by <sup>13</sup>C-NMR spectroscopy [C(CH<sub>3</sub>)=N-methyl group: 13 ppm].  
20

<sup>1</sup>H-NMR (CDCl<sub>3</sub>): δ = 1.31 (s, 9H); 2.18 (s, 3H); 2.83 (d, 3H);  
3.95 (s, 3H); 5.11 (s, 2H); 6.70 (s, br, 1H); 7.19 - 7.55 (m, 8H) ppm.

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20% of [Z(C=NObenzyl), E(C=NOCH<sub>3</sub>)] isomer was also detected in the mother liquor after recrystallization by <sup>1</sup>H-NMR spectroscopy besides the (E,E) isomer (shift of the signal from the benzyl protons by 0.18 ppm to higher field).

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## EXAMPLE 4

Preparation of (E,E)-N-methyl-2-methoxyimino-2-[2'-(1''-(2'''-naphthyl)-1''-methyl)iminooxymethyl]phenylacetamide

35 (Tab. 1, No. 1)

3.0 g (7.7 mmol) of methyl (E,E)-2-methoxyimino-2-[2'-(1''-(2'''-naphthyl)-1''-methyl)iminooxymethyl]phenylacetate (disclosed in EP 463 488) is treated with 50 ml of 40% strength  
40 aqueous monomethylamine solution. The mixture is stirred at 40°C for 6 h and, after cooling, extracted three times with methyl tert-butyl ether, and the organic phase is washed with water, dried over sodium sulfate and concentrated. After triturating the residue with diisopropyl ether, 1.9 g (64% yield) of the title  
45 compound is obtained as pale yellow crystals of melting point 92 - 95°C.

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<sup>1</sup>H-NMR (CDCl<sub>3</sub>):δ = 2.30 (s, 3H); 2.83 (d, 3H); 3.94 (s, 3H);  
5.15 (s, 2H); 6.69 (s, br, 1H); 7.14 - 7.93  
(m, 11H) ppm.

## 5 EXAMPLE 5

Preparation of (E,E)-N-methyl-2-methoxyimino-2-[2'-(1''-(4'''-chlorophenyl)-1''-n-propyl)iminooxymethyl]phenylacetamide (Tab. 2, No. 44).

10

0.6 g (1.5 mmol) of methyl (E,E)-2-methoxyimino-2-[2'-(1''-(4'''-chlorophenyl)-1''-n-propyl)iminooxymethyl]phenylacetate (disclosed in EP 463 488) is dissolved in 15 ml of tetrahydrofuran, and the solution is treated with 0.5 g of 40% strength aqueous monomethylamine solution. The mixture is stirred at 40 - 45°C for 6 hours and then concentrated, the residue is taken up in methyl tert-butyl ether, and the organic phase is extracted with water, dried over sodium sulfate and concentrated again. After chromatography of the residue on a silica gel column (cyclohexane/methyl tert-butyl ether = 1:1), 0.5 g (83% yield) of the title compound is obtained as a colorless resin.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>):δ = 0.94 (t, 3H); 1.54 (m, 2H); 2.70 (t, 2H);  
2.89 (d, 3H); 3.97 (s, 3H); 5.11 (s, 2H);  
6.74 (s, br, 1H); 7.19 - 7.58 (m, 8H) ppm.

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## EXAMPLE 6

Preparation of E-2-methoxyimino-2-(2'-methylphenyl)acetonitrile.

30

188 g (1.68 mol) of dry potassium tert-butoxide is introduced into 2 l of dry toluene and treated rapidly with 200 g (1.53 mol) of ortho-methylbenzyl cyanide and 173 g (1.68 mol) of tert-butyl nitrite in 200 ml of toluene. The mixture warms up to about 70°C, and is stirred for 2 hours and treated with 1 l of methyl tert-butyl ether. The yellow potassium salt is filtered off with suction, washed with methyl tert-butyl ether and dried under reduced pressure at 50°C.

290 g (1.46 mol) of this salt is then introduced into 2.5 l of dry acetone with 20 g (0.15 mol) of potassium carbonate. While 234 g (1.65 mol) of iodomethane are added dropwise, the temperature rises to about 35°C. The mixture is stirred overnight and then partitioned between water and methyl tert-butyl ether. The organic phase is washed with water, dried over Na<sub>2</sub>SO<sub>4</sub>, concentrated and distilled under reduced pressure (b.p. = 95 - 100°C/

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0.3 - 0.1 mm). 229 g (78% total yield) of the title compound are thus obtained as a poorly mobile liquid.

1H-NMR (CDCl<sub>3</sub>): δ = 2.53 (s, 3H); 4.22 (s, 3H); 7.2 - 7.4 (m, 3H); 7.54 (dd, 1H) ppm.

## EXAMPLE 7

Preparation of E-2-methoxyimino-2-(2'-bromomethylphenyl)acetonitrile.

48 g (0.28 mol) of E-2-methoxyimino-2-(2'-methylphenyl)acetonitrile and 54 g (0.30 mol) of N-bromosuccinimide are introduced into 250 ml of carbon tetrachloride. The mixture is illuminated from outside with a mercury vapor lamp (300 W) for 40 min. The succinimide is filtered off with suction and the solution is evaporated under reduced pressure. 62 g (88% yield) of the title compound remain, which is about 80% strength according to the result of the <sup>1</sup>H-NMR spectrum.

1H-NMR (CDCl<sub>3</sub>): δ = 4.27 (s, 3H); 4.80 (s, 2H); 7.4 - 7.5 (m, 3H); 7.69 (dd, 1H) ppm.

## EXAMPLE 8

Preparation of 2-methoximino-2-(2'-bromomethylphenyl)acetic acid-N-methylamide

10 g of 2-methoximino-2-[(2-methylphenyloxymethyl)-phenyl]acetic acid-N-methylamide is added to 50 ml of dichloromethane. At 10°C, 9 g of HBr is gassed into this solution. It is then allowed to thaw and is stirred for 3 days at room temperature. 50 ml of dichloromethane is added, and the mixture is washed with 5% strength NaOH and with water, dried and evaporated down. There is obtained 7 g of the title compound as a pale brown solid.

m.p.: 128 - 129°C  
<sup>1</sup>H-NMR (CDCl<sub>3</sub> / TMS): δ. 2.95 (d, 3H); 3.95 (s, 3H); 4.35 (s, 2H); 6.85 (NH); 7.1-7.5 ppm (m, 4H).

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## EXAMPLE 9

Preparation of 2-methoximino-2-(2'-chloromethylphenyl)acetic acid-N-methylamid

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At 10°C, 18.8 g of a boron trichloride solution (1 molar in n-hexane) is dripped into a solution of 2 g (6.4 mmol) of 2-methoximino-2[2-methylphenyloxymethyl)-phenyl]acetic acid-N-methylamide in 30 ml of dichloromethane, and the mixture is refluxed for 1.5  
10 hours. Subsequently, 8.2 g of methanol is added and the mixture is stirred overnight at room temperature. After washing with 5% strength NaOH and with water, drying and evaporating down, there is obtained 1.2 g (78%) of the title compound as a solid.

15 <sup>1</sup>H-NMR (CDCl<sub>3</sub> / TMS): δ = 2.96 (s, 3H); 3.96 (s, 3H); 4.46 (s, 2H); 6.86 (NH); 7.13-7.49 ppm (m, 4H).

## EXAMPLE 10

20 Preparation of 2-methoximino-2-[2'-1''-(4'''-methylphenyl)1''-methyl)iminoxymethyl]phenylacetic acid-N-methylamide

A spatula tip of tetra-n-butylammonium iodide is added to a solution of 2 g (7 mmol) of oxime ether amide bromide (from Example  
25 8) in 20 ml of dichloromethane, and then a solution of 1.2 g (7 mmol) of p-methylacetophenone oxime in 10 ml of dichloromethane. 20 ml of 10% strength NaOH is dripped in and the mixture is refluxed for 6 hours. After phase separation, extraction with dichloromethane, drying and evaporating down, the residue is chromatographed on silica gel with hexane/methyl tert.-butyl ether.  
30 1.1 g (45%) of the title compound remain.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>/TMS): δ = 2.18; 2.34 (s, 3H); 2.85 (d, 3H);  
3.95 (s, 3H); 5.09 (s, 2H); 6.67 (NH);  
35 7.12-7.50 ppm (m, 8H).

## EXAMPLE 11

Preparation of 4-methoxyacetophenone oxime-O-[2-bromo]benzyl  
40 ether

Under a nitrogen blanket, a solution of 14 g (85 mmol) of p-methoxyacetophenone oxime is dripped into a suspension of 2.8 g (94 mmol) of NaH (80% strength) in 250 ml of DMF, and the solution  
45 is stirred for 2 hours at room temperature. A solution of 21.3 g (85 mmol) of o-bromobenzyl chloride in 10 ml of DMF is then dripped in and the mixture is stirred for 1.5 hours. After hydro-

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lysis with 10% strength HCl, extraction with methyl tert-butyl ether, drying and evaporating down, 27.7 g (98%) of the title compound remain as a yellowish-brown oil.

5  $^1\text{H-NMR}$  ( $\text{CDCl}_3$  / TMS):  $\delta$  = 2.21; 3.85 (s, 3H); 5.34 (s, 2H); 6.91-7.66 ppm (m, 8H).

## EXAMPLE 12

10 Preparation of 2-methoximino-2-[2'-(1'-(4'''-methoxyphenyl)1''-methyl)iminooxymethyl]phenylacetic acid-N-methylamide

At  $-78^\circ\text{C}$  and under a nitrogen blanket, 6.6 g (15 mmol) of n-butyllithium (15% strength solution in hexane) is added to a solution of 5 g (15 mmol) of bromide (from Example 11) in 40 ml of THF, and 4.4 g (30 mmol) of diethyl oxalate is run in immediately afterwards. After thawing, water is added, followed by extraction with methyl tert-butyl ether, drying and evaporating down. The residue is chromatographed on silica gel using hexane/methyl tert.-butyl ether. There is obtained 2.7 g (51%) of the corresponding  $\alpha$ -keto ester as an oil.

50 ml of a 40% strength n-methylamine solution is added to a solution of 400 mg of this keto ester in 10 ml of THF, and the mixture is heated for 1 hour at  $50^\circ\text{C}$ . 2 g of methoxyamine hydrochloride is added and the mixture is again heated for 1 hour at  $50^\circ\text{C}$ . After cooling, extraction with dichloromethane, drying and evaporating down, 300 mg of the title compound remains (Table 1, No. 219).

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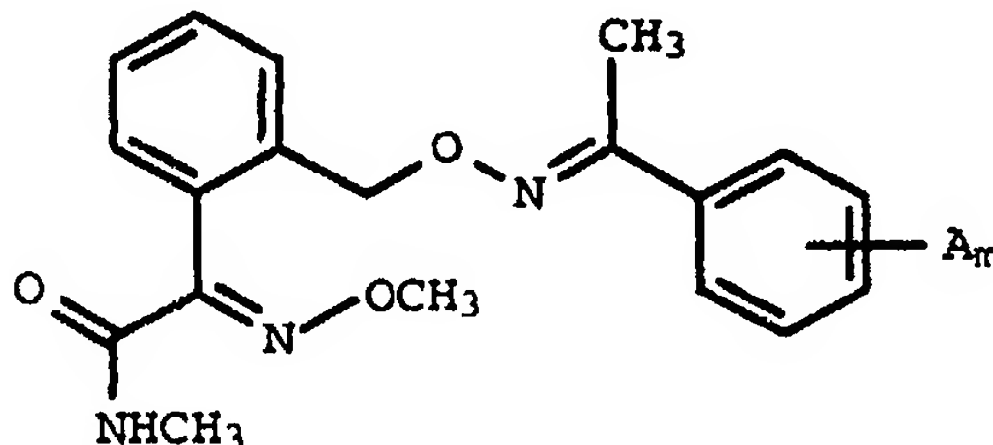
$^1\text{H-NMR}$  ( $\text{CDCl}_3$  / TMS):  $\delta$  = 2.15; 3.13; 3.77 (s, 3H); 2.86 (d, 3H); 5.06 (s, 2H); 6.84-7.56 ppm (m, 8H).

Further compounds of the formula I according to the invention are shown in Tables 1 and 2.

Table 1

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45



5	No.	A <sub>m</sub>	Data
	1*		
	2+		
	3	2F	m.p.: 43 - 47°C
10	4	3F	oil; IR (film): 3350, 2945, 1671, 1526, 1037, 979
	5	4F	m.p.: 60 - 63°C
15	6	2,3-F <sub>2</sub>	m.p.: 73 - 75°C
	7	2,4-F <sub>2</sub>	
	8	2,5-F <sub>2</sub>	m.p.: 78 - 80°C
20	9	2,6-F <sub>2</sub>	m.p.: 123 - 125°C
	10	3,4-F <sub>2</sub>	m.p.: 103 - 104°C
25	11	3,5-F <sub>2</sub>	m.p.: 98 - 100°C
	12	2,3,4-F <sub>3</sub>	
	13	2,3,5-F <sub>3</sub>	
	14	2,4,5-F <sub>3</sub>	m.p.: 67 - 70°C
30	15	3,4,5-F <sub>3</sub>	
	16	2,3-Cl <sub>2</sub>	m.p.: 92 - 94°C
	17	2,4-Cl <sub>2</sub>	m.p.: 97 - 99°C
35	18	2,5-Cl <sub>2</sub>	m.p.: 118 - 120°C
	19	3,4-Cl <sub>2</sub>	m.p.: 98 - 101°C
40	20	3-F,4-OCH <sub>3</sub>	
	21	2,3,5-Cl <sub>3</sub>	
	22	2,4,5-Cl <sub>3</sub>	
	23	3,4,5-Cl <sub>3</sub>	m.p.: 84 - 88°C
45	24	2-Br	m.p.: 83 - 86°C



No.	A <sub>m</sub>	Data
25	4-Br	m.p.: 94 - 96°C
5	26	2,3-Br <sub>2</sub>
	27	2,4-Br <sub>2</sub>
	28	2,5-Br <sub>2</sub>
	29	3,4-Br <sub>2</sub>
	30	3,5-Br <sub>2</sub> m.p.: 120 - 122°C
10	31	2,3,4-Br <sub>3</sub>
	32	2,3,5-Br <sub>3</sub>
	33	2,4,5-Br <sub>3</sub>
	34	3,4,5-Br <sub>3</sub>
	35	2-I
15	36	3-I
	37	4-I
	38	2,3-I <sub>2</sub>
	39	2,4-I <sub>2</sub>
	40	2,5-I <sub>2</sub>
20	41	3,4-I <sub>2</sub>
	42	3,5-I <sub>2</sub>
	43	2-F, 3-Cl
	44	2-F, 4-Cl
	45	2-F, 5-Cl
25	46	2-F, 3-Br
	47	2-F, 4-Br
	48	2-F, 5-Br
	49	2-Cl, 3-Br
	50	2-Cl, 4-Br
30	51	2-Cl, 5-Br
	52	3-F, 4-Cl
	53	3-F, 5-Cl
	54	3-F, 6-Cl
	55	3-F, 4-Br
35	56	3-F, 5-Br
	57	3-F, 6-Br
	58	3-Cl, 4-Br
	59	3-Cl, 5-Br
	60	3-Cl, 6-Br
40	61	4-F, 5-Cl m.p.: 150 - 154°C

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No.	A <sub>m</sub>	Data
62	4-F, 6-Cl	
63	4-F, 5-Br	m.p.: 80 - 82°C
5	64	4-F, 6-Br
	65	4-Cl, 5-Br
	66	4-Cl, 6-Br
	67	5-F, 6-Cl
10	68	5-F, 6-Br
	69	5-Cl, 6-Br
	70	3-Cl, 4-F, 5-Cl
	71	3-Br, 4-Cl, 5-Br
15	72	3-Cl, 4-Br, 5-Cl
	73	2-CN
	74	3-CN
		m.p.: 121 - 125°C
	75	4-CN
20	76	2-NO <sub>2</sub>
		m.p.: 97 - 99°C
	77	3-NO <sub>2</sub>
		m.p.: 101 - 103°C
25	78	3-CH <sub>3</sub>
		oil; IR (film): 3350, 2938, 1671, 1526, 1093, 1037, 979, 787, 697
	79	2,3-(CH <sub>3</sub> ) <sub>2</sub>
30	80	2,4-(CH <sub>3</sub> ) <sub>2</sub>
		m.p.: 107 - 110°C
	81	2,5-(CH <sub>3</sub> ) <sub>2</sub>
		m.p.: 85 - 86°C
	82	2,6-(CH <sub>3</sub> ) <sub>2</sub>
35	83	3,4-(CH <sub>3</sub> ) <sub>2</sub>
	84	3,5-(CH <sub>3</sub> ) <sub>2</sub>
		m.p.: 88 - 90°C
	85	2,3,4-(CH <sub>3</sub> ) <sub>3</sub>
	86	2,3,5-(CH <sub>3</sub> ) <sub>3</sub>
40	87	2,3,6-(CH <sub>3</sub> ) <sub>3</sub>
	88	2,4,5-(CH <sub>3</sub> ) <sub>3</sub>
	89	2,4,6-(CH <sub>3</sub> ) <sub>3</sub>
	90	3,4,5-(CH <sub>3</sub> ) <sub>3</sub>
45	91	2-C <sub>2</sub> H <sub>5</sub>
	92	3-C <sub>2</sub> H <sub>5</sub>

No.	A <sub>m</sub>	Data
93	4-C <sub>2</sub> H <sub>5</sub>	m.p.: 87 - 89°C
5	94	2,3-(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>
	95	2,4-(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>
	96	2,5-(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>
	97	3,4-(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>
	98	3,5-(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> m.p.: 61 - 64°C
10	99	3,4,5-(C <sub>2</sub> H <sub>5</sub> ) <sub>3</sub>
	100	3-n-C <sub>3</sub> H <sub>7</sub>
	101	4-n-C <sub>3</sub> H <sub>7</sub> m.p.: 78 - 80°C
15	101	3,4-(n-C <sub>3</sub> H <sub>7</sub> ) <sub>2</sub>
	102	3,5-(n-C <sub>3</sub> H <sub>7</sub> ) <sub>2</sub>
	103	3-i-C <sub>3</sub> H <sub>7</sub>
	104	4-i-C <sub>3</sub> H <sub>7</sub> m.p.: 93 - 95°C
20	105	3,4-(i-C <sub>3</sub> H <sub>7</sub> ) <sub>2</sub>
	106	3,5-(i-C <sub>3</sub> H <sub>7</sub> ) <sub>2</sub>
	107	3-cyclopropyl
	108	4-cyclopropyl
25	109	3-n-C <sub>4</sub> H <sub>9</sub>
	110	4-n-C <sub>4</sub> H <sub>9</sub>
	111	3,4-(n-C <sub>4</sub> H <sub>9</sub> ) <sub>2</sub>
	112	3,5-(n-C <sub>4</sub> H <sub>9</sub> ) <sub>2</sub>
30	113	3-s-C <sub>4</sub> H <sub>9</sub>
	114	4-s-C <sub>4</sub> H <sub>9</sub>
	115	3-i-C <sub>4</sub> H <sub>9</sub>
	116	4-i-C <sub>4</sub> H <sub>9</sub>
35	117	3-t-C <sub>4</sub> H <sub>9</sub>
	118	4-t-C <sub>4</sub> H <sub>9</sub> m.p.: 107 - 110°C
	119	3,4-(t-C <sub>4</sub> H <sub>9</sub> ) <sub>2</sub>
40	120	3,5-(t-C <sub>4</sub> H <sub>9</sub> ) <sub>2</sub>
	121	3-n-C <sub>5</sub> H <sub>11</sub>
	122	4-n-C <sub>5</sub> H <sub>11</sub>
	123	3-n-C <sub>6</sub> H <sub>13</sub>
45	124	4-n-C <sub>6</sub> H <sub>13</sub>
	125	3-Cyclohexyl
	126	4-Cyclohexyl m.p.: 110 - 112°C

No.	A <sub>m</sub>	Data
127	3-Phenyl	m.p.: 70 - 72°C
5 128	4-Phenyl	m.p.: 138 - 140°C
129	3-Vinyl	
130	4-Vinyl	
131	3-Allyl	
10 132	4-Allyl	
133	3-Propargyl	
134	4-Propargyl	
135	3-(Propen-2-yl)	
15 136	4-(Propen-2-yl)	
137	3-(But-2-en-2-yl)	
138	4-(But-2-en-2-yl)	
139	3-t-C <sub>5</sub> H <sub>11</sub>	
140	4-t-C <sub>5</sub> H <sub>11</sub>	
20 141	2-CH <sub>3</sub> , 3-C <sub>2</sub> H <sub>5</sub>	
142	2-CH <sub>3</sub> , 4-C <sub>2</sub> H <sub>5</sub>	
143	2-CH <sub>3</sub> , 5-C <sub>2</sub> H <sub>5</sub>	
144	2-CH <sub>3</sub> , 3-n-C <sub>3</sub> H <sub>7</sub>	
25 145	2-CH <sub>3</sub> , 4-n-C <sub>3</sub> H <sub>7</sub>	
146	2-CH <sub>3</sub> , 5-n-C <sub>3</sub> H <sub>7</sub>	
147	2-CH <sub>3</sub> , 3-i-C <sub>3</sub> H <sub>7</sub>	
148	2-CH <sub>3</sub> , 4-i-C <sub>3</sub> H <sub>7</sub>	
30 149	2-CH <sub>3</sub> , 5-i-C <sub>3</sub> H <sub>7</sub>	
150	2-CH <sub>3</sub> , 3-n-C <sub>4</sub> H <sub>9</sub>	
151	2-CH <sub>3</sub> , 4-n-C <sub>4</sub> H <sub>9</sub>	
152	2-CH <sub>3</sub> , 5-n-C <sub>4</sub> H <sub>9</sub>	
35 153	2-CH <sub>3</sub> , 3-s-C <sub>4</sub> H <sub>9</sub>	
154	2-CH <sub>3</sub> , 4-s-C <sub>4</sub> H <sub>9</sub>	
155	2-CH <sub>3</sub> , 5-s-C <sub>4</sub> H <sub>9</sub>	
156	2-CH <sub>3</sub> , 3-i-C <sub>4</sub> H <sub>9</sub>	
157	2-CH <sub>3</sub> , 4-i-C <sub>4</sub> H <sub>9</sub>	
40 158	2-CH <sub>3</sub> , 5-i-C <sub>4</sub> H <sub>9</sub>	
159	2-CH <sub>3</sub> , 3-t-C <sub>4</sub> H <sub>9</sub>	
160	2-CH <sub>3</sub> , 4-t-C <sub>4</sub> H <sub>9</sub>	
161	2-CH <sub>3</sub> , 5-t-C <sub>4</sub> H <sub>9</sub>	
45 162	2-CH <sub>3</sub> , 3-Cyclohexyl	
163	2-CH <sub>3</sub> , 4-Cyclohexyl	
164	2-CH <sub>3</sub> , 5-Cyclohexyl	

No.	A <sub>m</sub>	Data
165	2-CH <sub>3</sub> , 3-Phenyl	
166	2-CH <sub>3</sub> , 4-Phenyl	
5 167	2-CH <sub>3</sub> , 5-Phenyl	
168	2-CH <sub>3</sub> , 4-Vinyl	
169	2-CH <sub>3</sub> , 4-Allyl	
170	2-CH <sub>3</sub> , 4-Propargyl	
10 171	2-CH <sub>3</sub> , 4-(Propen-2-yl)	
172	2-CH <sub>3</sub> , 4-(But-2-en-2-yl)	
173	3-CH <sub>3</sub> , 4-C <sub>2</sub> H <sub>5</sub>	
174	3-CH <sub>3</sub> , 5-C <sub>2</sub> H <sub>5</sub>	
15 175	3-CH <sub>3</sub> , 4-n-C <sub>3</sub> H <sub>7</sub>	
176	3-CH <sub>3</sub> , 5-n-C <sub>3</sub> H <sub>7</sub>	
177	3-CH <sub>3</sub> , 4-i-C <sub>3</sub> H <sub>7</sub>	
178	3-CH <sub>3</sub> , 5-i-C <sub>3</sub> H <sub>7</sub>	
179	3-CH <sub>3</sub> , 4-Cyclopropyl	
20 180	3-CH <sub>3</sub> , 4-n-C <sub>4</sub> H <sub>9</sub>	
181	3-CH <sub>3</sub> , 5-n-C <sub>4</sub> H <sub>9</sub>	
182	3-CH <sub>3</sub> , 4-s-C <sub>4</sub> H <sub>9</sub>	
183	3-CH <sub>3</sub> , 5-s-C <sub>4</sub> H <sub>9</sub>	
25 184	3-CH <sub>3</sub> , 4-i-C <sub>4</sub> H <sub>9</sub>	
185	3-CH <sub>3</sub> , 5-i-C <sub>4</sub> H <sub>9</sub>	
186	3-CH <sub>3</sub> , 4-t-C <sub>4</sub> H <sub>9</sub>	
187	3-CH <sub>3</sub> , 5-t-C <sub>4</sub> H <sub>9</sub>	
188	3-CH <sub>3</sub> , 4-Cyclohexyl	
30 189	3-CH <sub>3</sub> , 5-Cyclohexyl	
190	3-CH <sub>3</sub> , 4-Phenyl	
191	3-CH <sub>3</sub> , 5-Phenyl	
192	3-CH <sub>3</sub> , 4-Vinyl	
35 193	3-CH <sub>3</sub> , 4-Allyl	
194	3-CH <sub>3</sub> , 4-Propargyl	
195	3-CH <sub>3</sub> , 4-(Propen-2-yl)	
196	3-CH <sub>3</sub> , 4-(But-2-en-2-yl)	
40 197	4-CH <sub>3</sub> , 5-C <sub>2</sub> H <sub>5</sub>	
198	4-CH <sub>3</sub> , 5-n-C <sub>3</sub> H <sub>7</sub>	
199	4-CH <sub>3</sub> , 5-i-C <sub>3</sub> H <sub>7</sub>	
200	4-CH <sub>3</sub> , 5-Cyclopropyl	
45 201	4-CH <sub>3</sub> , 5-n-C <sub>4</sub> H <sub>9</sub>	
202	4-CH <sub>3</sub> , 5-s-C <sub>4</sub> H <sub>9</sub>	
203	4-CH <sub>3</sub> , 5-i-C <sub>4</sub> H <sub>9</sub>	

No.	A <sub>m</sub>	Data
204	4-CH <sub>3</sub> , 5-t-C <sub>4</sub> H <sub>9</sub>	
205	4-CH <sub>3</sub> , 5-Cyclohexyl	
5 206	4-CH <sub>3</sub> , 5-Phenyl	
207	4-CH <sub>3</sub> , 5-Vinyl	
208	4-CH <sub>3</sub> , 5-Allyl	
209	4-CH <sub>3</sub> , 5-Propargyl	
10 210	4-CH <sub>3</sub> , 5-(Propen-2-yl)	
211	4-CH <sub>3</sub> , 5-(But-2-en-2-yl)	
212	3-CH <sub>3</sub> , 4-t-C <sub>4</sub> H <sub>9</sub> , 5-CH <sub>3</sub>	
213	3-t-C <sub>4</sub> H <sub>9</sub> , 4-CH <sub>3</sub> , 5-t-C <sub>4</sub> H <sub>9</sub>	
15 214	2-OH	m.p.: 108 - 110°C
215	3-OH	m.p.: 123 - 125°C
216	4-OH	m.p.: 170 - 171°C
20 217	2-OCH <sub>3</sub>	m.p.: 79 - 81°C
218	3-OCH <sub>3</sub>	m.p.: 93 - 95°C
219	4-OCH <sub>3</sub>	m.p.: 65 - 68°C
25 220	2,3-(OCH <sub>3</sub> ) <sub>2</sub>	
221	2,4-(OCH <sub>3</sub> ) <sub>2</sub>	m.p.: 113 - 114°C
222	2,5-(OCH <sub>3</sub> ) <sub>2</sub>	m.p.: 98 - 101°C
30 223	3,4-(OCH <sub>3</sub> ) <sub>2</sub>	m.p.: 132 - 135°C
224	3,5-(OCH <sub>3</sub> ) <sub>2</sub>	m.p.: 97 - 99°C
35 225	3,4,5-(OCH <sub>3</sub> ) <sub>3</sub>	m.p.: 118 - 120°C
226	2,3,4-(OCH <sub>3</sub> ) <sub>3</sub>	oil; IR (film): 3370, 2945, 1675, 1496, 1463, 1412, 1094, 1037
40 227	3-OC <sub>2</sub> H <sub>5</sub>	oil; IR (film): 3350, 2936, 1671, 1526, 1223, 1038, 980
228	4-OC <sub>2</sub> H <sub>5</sub>	m.p.: 106 - 108°C
45 229	3,4-(OC <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>	
230	3,5-(OC <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>	

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No.	A <sub>m</sub>	Data
5	231 3-O-n-C <sub>3</sub> H <sub>7</sub>	m.p.: 106 - 109°C
	232 4-O-n-C <sub>3</sub> H <sub>7</sub>	m.p.: 99 - 102°C
	233 3,4-(O-n-C <sub>3</sub> H <sub>7</sub> ) <sub>2</sub>	
	234 3,5-(O-n-C <sub>3</sub> H <sub>7</sub> ) <sub>2</sub>	
10	235 3-O-i-C <sub>3</sub> H <sub>7</sub>	oil; IR (film): 3350, 2975, 1672, 152, 1223, 1037, 979
	236 4-O-i-C <sub>3</sub> H <sub>7</sub>	oil; IR (film): 3396, 2980, 1659, 1513, 1252, 1047
15	237 3,4-(O-i-C <sub>3</sub> H <sub>7</sub> ) <sub>2</sub>	
	238 3,5-(O-i-C <sub>3</sub> H <sub>7</sub> ) <sub>2</sub>	
	239 3-O-Cyclopropyl	
	240 4-O-Cyclopropyl	
20	241 3,4-(O-Cyclopropyl) <sub>2</sub>	
	242 3,5-(O-Cyclopropyl) <sub>2</sub>	
	243 3-O-n-C <sub>4</sub> H <sub>9</sub>	oil; IR (film): 3360, 2958, 2935, 1671, 1526, 1224, 1037, 979
25	244 4-O-n-C <sub>4</sub> H <sub>9</sub>	m.p.: 112 - 115°C
	245 3,4-(O-n-C <sub>4</sub> H <sub>9</sub> ) <sub>2</sub>	
	246 3,5-(O-n-C <sub>4</sub> H <sub>9</sub> ) <sub>2</sub>	
	247 3-O-s-C <sub>4</sub> H <sub>9</sub>	
30	248 4-O-s-C <sub>4</sub> H <sub>9</sub>	m.p.: 95 - 97°C
	249 3,4-(O-s-C <sub>4</sub> H <sub>9</sub> ) <sub>2</sub>	
	250 3,5-(O-s-C <sub>4</sub> H <sub>9</sub> ) <sub>2</sub>	
35	251 3-O-i-C <sub>4</sub> H <sub>9</sub>	oil; IR (film): 3350, 2958, 2936, 1672, 1225, 1038, 979
	252 4-O-i-C <sub>4</sub> H <sub>9</sub>	oil; IR (film): 3350, 2959, 2936, 1672, 1514, 1248, 1036
40	253 3,4-(O-i-C <sub>4</sub> H <sub>9</sub> ) <sub>2</sub>	
	254 3,5-(O-i-C <sub>4</sub> H <sub>9</sub> ) <sub>2</sub>	
	255 3-O-t-C <sub>4</sub> H <sub>9</sub>	m.p.: 66 - 67°C
	256 4-O-t-C <sub>4</sub> H <sub>9</sub>	m.p.: 51 - 55°C
45	257 3,4-(O-t-C <sub>4</sub> H <sub>9</sub> ) <sub>2</sub>	
	258 3,5-(O-t-C <sub>4</sub> H <sub>9</sub> ) <sub>2</sub>	



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No.	A <sub>m</sub>	Data
5	259 3-O-n-C <sub>5</sub> H <sub>11</sub>	oil; IR (film): 3360, 2955, 2935, 1672, 1526, 1226, 1037, 980
	260 4-O-n-C <sub>5</sub> H <sub>11</sub>	m.p.: 60 - 65°C
	261 3,4-(O-n-C <sub>5</sub> H <sub>11</sub> ) <sub>2</sub>	
	262 3,5-(O-n-C <sub>5</sub> H <sub>11</sub> ) <sub>2</sub>	
	263 3-O-n-C <sub>6</sub> H <sub>13</sub>	oil; IR (film): 3350, 2933, 1670, 1524, 1223, 1037, 979
10	264 4-O-n-C <sub>6</sub> H <sub>13</sub>	m.p.: 74 - 76°C
	265 3,4-(O-n-C <sub>6</sub> H <sub>13</sub> ) <sub>2</sub>	
	266 3,5-(O-n-C <sub>6</sub> H <sub>13</sub> ) <sub>2</sub>	
	267 3-O-Cyclohexyl	
	268 4-O-Cyclohexyl	
15	269 3,4-(O-Cyclohexyl) <sub>2</sub>	
	270 3,5-(O-Cyclohexyl) <sub>2</sub>	
	271 3-OC <sub>6</sub> H <sub>5</sub>	
	272 4-OC <sub>6</sub> H <sub>5</sub>	m.p.: 118 - 120°C
	273 3,4-(OC <sub>6</sub> H <sub>5</sub> ) <sub>2</sub>	
20	274 3,5-(OC <sub>6</sub> H <sub>5</sub> ) <sub>2</sub>	
	275 3-O-Allyl	oil; IR (film): 3340, 2942, 1669, 1523, 1225, 1037, 980
	276 4-O-Allyl	m.p.: 94 - 97°C
	277 3,4-(O-Allyl) <sub>2</sub>	
	278 3,5-(O-Allyl) <sub>2</sub>	
25	279 3-O-t-C <sub>5</sub> H <sub>11</sub>	
	280 4-O-t-C <sub>5</sub> H <sub>11</sub>	
	281 2-CF <sub>3</sub>	
	282 3-CF <sub>3</sub>	oil; IR (film): 3345, 2940, 1672, 1341, 1276, 1167, 1126, 1038
	283 4-CF <sub>3</sub>	m.p.: 83 - 85°C
30	284 2,3-(CF <sub>3</sub> ) <sub>2</sub>	
	285 2,4-(CF <sub>3</sub> ) <sub>2</sub>	

No.	A <sub>m</sub>	Data
286	2,5-(CF <sub>3</sub> ) <sub>2</sub>	
287	3,4-(CF <sub>3</sub> ) <sub>2</sub>	
5 288	3,5-(CF <sub>3</sub> ) <sub>2</sub>	m.p.: 138 - 140°C
289	3,4,5-(CF <sub>3</sub> ) <sub>3</sub>	
290	2-CF <sub>2</sub> Cl	
291	3-CF <sub>2</sub> Cl	
10 292	4-CF <sub>2</sub> Cl	
293	3-CFCl <sub>2</sub>	
294	4-CFCl <sub>2</sub>	
295	3-CCl <sub>3</sub>	
15 296	4-CCl <sub>3</sub>	
297	3,4-(CCl <sub>3</sub> ) <sub>2</sub>	
298	3,5-(CCl <sub>3</sub> ) <sub>2</sub>	
299	3-CH <sub>2</sub> CH <sub>2</sub> F	
20 300	4-CH <sub>2</sub> CH <sub>2</sub> F	
301	3-CH <sub>2</sub> CF <sub>3</sub>	
302	4-CH <sub>2</sub> CF <sub>3</sub>	
303	3-C <sub>2</sub> F <sub>5</sub>	
304	4-C <sub>2</sub> F <sub>5</sub>	
25 305	3-CHCl <sub>2</sub>	
306	4-CHCl <sub>2</sub>	
307	3-CH <sub>2</sub> Cl	
308	4-CH <sub>2</sub> Cl	
30 309	3,4-(CH <sub>2</sub> Cl) <sub>2</sub>	
310	3,5-(CH <sub>2</sub> Cl) <sub>2</sub>	
311	3-CF <sub>2</sub> CHF <sub>2</sub>	
312	4-CF <sub>2</sub> CHF <sub>2</sub>	
35 313	3-CH <sub>2</sub> CCl <sub>3</sub>	
314	4-CH <sub>2</sub> CCl <sub>3</sub>	
315	3-C <sub>2</sub> Cl <sub>5</sub>	
316	4-C <sub>2</sub> Cl <sub>5</sub>	
40 317	3-C(CH <sub>3</sub> ) <sub>2</sub> CH <sub>2</sub> Cl	
318	4-C(CH <sub>3</sub> ) <sub>2</sub> CH <sub>2</sub> Cl	
319	3-CH <sub>2</sub> CH <sub>2</sub> Cl	
320	4-CH <sub>2</sub> CH <sub>2</sub> Cl	
321	2-OCF <sub>3</sub>	
45 322	3-OCF <sub>3</sub>	
323	4-OCF <sub>3</sub>	m.p.: 72 - 74°C

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No.	A <sub>m</sub>	Data
324	2,3-(OCF <sub>3</sub> ) <sub>2</sub>	
325	2,4-(OCF <sub>3</sub> ) <sub>2</sub>	
5 326	2,5-(OCF <sub>3</sub> ) <sub>2</sub>	
327	3,4-(OCF <sub>3</sub> ) <sub>2</sub>	
328	3,5-(OCF <sub>3</sub> ) <sub>2</sub>	
329	3-OCHF <sub>2</sub>	
10 330	4-OCHF <sub>2</sub>	m.p.: 78 - 80°C
331	3-OCCL <sub>3</sub>	
332	4-OCCL <sub>3</sub>	
333	3-OCH <sub>2</sub> CF <sub>3</sub>	
15 334	4-OCH <sub>2</sub> CF <sub>3</sub>	
335	3,4-(OCH <sub>2</sub> CF <sub>3</sub> ) <sub>2</sub>	
336	3,5-(OCH <sub>2</sub> CF <sub>3</sub> ) <sub>2</sub>	
337	3-OC <sub>2</sub> F <sub>5</sub>	
20 338	4-OC <sub>2</sub> F <sub>5</sub>	
339	3,4-(OC <sub>2</sub> F <sub>5</sub> ) <sub>2</sub>	
340	3,5-(OC <sub>2</sub> F <sub>5</sub> ) <sub>2</sub>	
341	3-OCF <sub>2</sub> CHF <sub>2</sub>	m.p.: 67 - 68°C
25 342	4-OCF <sub>2</sub> CHF <sub>2</sub>	m.p.: 75 - 77°C
343	3,4-(OCF <sub>2</sub> CHF <sub>2</sub> ) <sub>2</sub>	
344	3,5-(OCF <sub>2</sub> CHF <sub>2</sub> ) <sub>2</sub>	
345	3-CF <sub>3</sub> , 4-OCF <sub>3</sub>	
30 346	3-CF <sub>3</sub> , 5-OCF <sub>3</sub>	
347	4-CF <sub>3</sub> , 5-OCF <sub>3</sub>	
348	3-CH <sub>2</sub> OCH <sub>3</sub>	
349	4-CH <sub>2</sub> OCH <sub>3</sub>	
35 350	3-CH <sub>2</sub> O(t-C <sub>4</sub> H <sub>9</sub> )	
351	4-CH <sub>2</sub> O(t-C <sub>4</sub> H <sub>9</sub> )	
352	3-C(CH <sub>3</sub> ) <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>	
353	4-C(CH <sub>3</sub> ) <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>	
40 354	3-C(CH <sub>3</sub> ) <sub>2</sub> OCH <sub>3</sub>	
355	4-C(CH <sub>3</sub> ) <sub>2</sub> OCH <sub>3</sub>	
356	3-C(CH <sub>3</sub> )(OCH <sub>3</sub> ) <sub>2</sub>	
357	4-C(CH <sub>3</sub> )(OCH <sub>3</sub> ) <sub>2</sub>	
45 358	3-CH(OCH <sub>3</sub> ) <sub>2</sub>	
359	4-CH(OCH <sub>3</sub> ) <sub>2</sub>	
360	3-CH <sub>2</sub> (CN)	

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No.	A <sub>m</sub>	Data
361	4-CH <sub>2</sub> (CN)	
362	3-C(CH <sub>3</sub> ) <sub>2</sub> CH <sub>2</sub> CN	
5 363	4-C(CH <sub>3</sub> ) <sub>2</sub> CH <sub>2</sub> CN	
364	3-CH <sub>2</sub> (NO <sub>2</sub> )	
365	4-CH <sub>2</sub> (NO <sub>2</sub> )	
366	3-CHO	
10 367	4-CHO	
368	3-CO-CH <sub>3</sub>	
369	4-CO-CH <sub>3</sub>	
370	3-CO-C <sub>2</sub> H <sub>5</sub>	
371	4-CO-C <sub>2</sub> H <sub>5</sub>	
15 372	2-CO <sub>2</sub> H	
373	3-CO <sub>2</sub> H	
374	4-CO <sub>2</sub> H	m.p.: 188 - 190°C
20 375	3-CO <sub>2</sub> CH <sub>3</sub>	
376	4-CO <sub>2</sub> CH <sub>3</sub>	
377	3-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	
378	4-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	m.p.: 69 - 72°C
25 379	3-CO <sub>2</sub> -n-C <sub>3</sub> H <sub>7</sub>	
380	4-CO <sub>2</sub> -n-C <sub>3</sub> H <sub>7</sub>	
381	3-CO <sub>2</sub> -i-C <sub>3</sub> H <sub>7</sub>	
382	4-CO <sub>2</sub> -i-C <sub>3</sub> H <sub>7</sub>	
30 383	3-CO <sub>2</sub> -n-C <sub>4</sub> H <sub>9</sub>	
384	4-CO <sub>2</sub> -n-C <sub>4</sub> H <sub>9</sub>	
385	3-CO <sub>2</sub> -s-C <sub>4</sub> H <sub>9</sub>	
386	4-CO <sub>2</sub> -s-C <sub>4</sub> H <sub>9</sub>	
35 387	3-CO <sub>2</sub> -i-C <sub>4</sub> H <sub>9</sub>	
388	4-CO <sub>2</sub> -i-C <sub>4</sub> H <sub>9</sub>	
389	3-CO <sub>2</sub> -t-C <sub>4</sub> H <sub>9</sub>	
390	4-CO <sub>2</sub> -t-C <sub>4</sub> H <sub>9</sub>	
391	3-CO <sub>2</sub> -n-C <sub>5</sub> H <sub>11</sub>	
40 392	4-CO <sub>2</sub> -n-C <sub>5</sub> H <sub>11</sub>	
393	3-CO <sub>2</sub> -n-C <sub>6</sub> H <sub>13</sub>	
394	4-CO <sub>2</sub> -n-C <sub>6</sub> H <sub>13</sub>	
395	2-C(=O)-NH <sub>2</sub>	
45 396	3-C(=O)-NH <sub>2</sub>	
397	4-C(=O)-NH <sub>2</sub>	
398	3-C(=O)-NHCH <sub>3</sub>	

No.	A <sub>m</sub>	Data
399	4-C(=O)-NHCH <sub>3</sub>	
400	3-C(=O)-N(CH <sub>3</sub> ) <sub>2</sub>	
5 401	4-C(=O)-N(CH <sub>3</sub> ) <sub>2</sub>	
402	3-C(=O)-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>	
403	4-C(=O)-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>	
404	2-C(=S)-NH <sub>2</sub>	
10 405	3-C(=S)-NH <sub>2</sub>	m.p.: 174 - 175°C
406	4-C(=S)-NH <sub>2</sub>	
407	2-NH <sub>2</sub>	
408	3-NH <sub>2</sub>	m.p.: 92 - 94°C
15 409	4-NH <sub>2</sub>	131 - 133°C
410	3-NCH <sub>3</sub>	
411	4-NCH <sub>3</sub>	
412	3-N(CH <sub>3</sub> ) <sub>2</sub>	
20 413	4-N(CH <sub>3</sub> ) <sub>2</sub>	m.p.: 98 - 100°C
414	3-NHC(=O)CH <sub>3</sub>	
415	4-NHC(=O)CH <sub>3</sub>	m.p.: 165 - 167°C
25 416	3-N(CH <sub>3</sub> )C(=O)CH <sub>3</sub>	
417	4-N(CH <sub>3</sub> )C(=O)CH <sub>3</sub>	
418	3-NHCO <sub>2</sub> CH <sub>3</sub>	
419	4-NHCO <sub>2</sub> CH <sub>3</sub>	
30 420	2-CH <sub>3</sub> , 4-Cyclopropyl	
421	3-N(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>	
422	4-N(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>	
423	3-O-C(=O)CH <sub>3</sub>	m.p.: 108 - 110°C
35 424	4-O-C(=O)CH <sub>3</sub>	oil; IR (film): 3360, 2942, 1754, 1671, 1199, 1036
425	3,4-[O-C(=O)CH <sub>3</sub> ] <sub>2</sub>	
40 426	3,5-[O-C(=O)CH <sub>3</sub> ] <sub>2</sub>	
427	3-O-C(=O)C <sub>2</sub> H <sub>5</sub>	
428	4-O-C(=O)C <sub>2</sub> H <sub>5</sub>	
429	3-O-C(=O)(i-C <sub>3</sub> H <sub>7</sub> )	
45 430	4-O-C(=O)(i-C <sub>3</sub> H <sub>7</sub> )	
431	3-O-C(=O)(t-C <sub>4</sub> H <sub>9</sub> )	
432	4-O-C(=O)(t-C <sub>4</sub> H <sub>9</sub> )	

No.	A <sub>m</sub>	Data
433	3-SH	
434	4-SH	
5 435	3-SCH <sub>3</sub>	
436	4-SCH <sub>3</sub>	m.p.: 97 - 100°C
437	3-SC <sub>2</sub> H <sub>5</sub>	
438	4-SC <sub>2</sub> H <sub>5</sub>	
10 439	3-S-i-C <sub>3</sub> H <sub>7</sub>	
440	4-S-i-C <sub>3</sub> H <sub>7</sub>	
441	3-S-t-C <sub>4</sub> H <sub>9</sub>	
442	4-S-t-C <sub>4</sub> H <sub>9</sub>	
15 443	3-S(=O)CH <sub>3</sub>	
444	4-S(=O)CH <sub>3</sub>	
445	3-SO <sub>2</sub> CH <sub>3</sub>	
446	4-SO <sub>2</sub> CH <sub>3</sub>	
20 447	3-CH=NH	
448	4-CH=NH	
449	3-CH=NCH <sub>3</sub>	
450	4-CH=NCH <sub>3</sub>	
25 451	3-C(CH <sub>3</sub> )=NH	
452	4-C(CH <sub>3</sub> )=NH	
453	3-C(CH <sub>3</sub> )=NCH <sub>3</sub>	
454	4-C(CH <sub>3</sub> )=NCH <sub>3</sub>	
455	3-N=C(CH <sub>3</sub> ) <sub>2</sub>	
30 456	4-N=C(CH <sub>3</sub> ) <sub>2</sub>	
457	3-C(SCH <sub>3</sub> )=NOCH <sub>3</sub>	
458	4-C(SCH <sub>3</sub> )=NOCH <sub>3</sub>	
458	3-C(OCH <sub>3</sub> )=NOCH <sub>3</sub>	
35 460	4-C(OCH <sub>3</sub> )=NOCH <sub>3</sub>	
461	3-C(NH <sub>2</sub> )=NOCH <sub>3</sub>	
462	4-C(NH <sub>2</sub> )=NOCH <sub>3</sub>	
463	3-C(NCH <sub>3</sub> )=NOCH <sub>3</sub>	
40 464	4-C(NCH <sub>3</sub> )=NOCH <sub>3</sub>	
465	3-CH=NOCH <sub>3</sub>	
466	4-CH=NOCH <sub>3</sub>	
467	3-C(CH <sub>3</sub> )=NOCH <sub>3</sub>	
45 468	4-C(CH <sub>3</sub> )=NOCH <sub>3</sub>	m.p.: 105 - 107°C
469	3-C(CH <sub>3</sub> )=NOC <sub>2</sub> H <sub>5</sub>	

No.	A <sub>m</sub>	Data
5	470 4-C(CH <sub>3</sub> )=NOC <sub>2</sub> H <sub>5</sub>	oil; IR (film): 3350, 2935, 1671, 1525, 1947, 979
	471 3-C(CH <sub>3</sub> )=NO-n-C <sub>3</sub> H <sub>7</sub>	
10	472 4-C(CH <sub>3</sub> )=NO-n-C <sub>3</sub> H <sub>7</sub>	oil; IR (film): 3350, 2963, 2935, 1672, 1525, 1037, 979, 927
	473 3-C(CH <sub>3</sub> )=NO-i-C <sub>3</sub> H <sub>7</sub>	
15	474 4-C(CH <sub>3</sub> )=NO-i-C <sub>3</sub> H <sub>7</sub>	
	475 3-C(CH <sub>3</sub> )=NO-n-C <sub>4</sub> H <sub>9</sub>	
	476 4-C(CH <sub>3</sub> )=NO-n-C <sub>4</sub> H <sub>9</sub>	oil; IR (film): 3350, 2957, 2935, 1673, 1525, 1038, 979
	477 3-C(CH <sub>3</sub> )=NO-s-C <sub>4</sub> H <sub>9</sub>	
20	478 4-C(CH <sub>3</sub> )=NO-s-C <sub>4</sub> H <sub>9</sub>	
	479 3-C(CH <sub>3</sub> )=NO-i-C <sub>4</sub> H <sub>9</sub>	
	480 4-C(CH <sub>3</sub> )=NO-i-C <sub>4</sub> H <sub>9</sub>	oil; IR (film): 3350, 2958, 2935, 1673, 1525, 1039, 979, 927
25	481 3-C(CH <sub>3</sub> )=NO-t-C <sub>4</sub> H <sub>9</sub>	
	482 4-C(CH <sub>3</sub> )=NO-t-C <sub>4</sub> H <sub>9</sub>	
	483 3-C(CH <sub>3</sub> )=NO-n-C <sub>5</sub> H <sub>11</sub>	
	484 4-C(CH <sub>3</sub> )=NO-n-C <sub>5</sub> H <sub>11</sub>	
	485 3-C(CH <sub>3</sub> )=NO-n-C <sub>6</sub> H <sub>13</sub>	
	486 4-C(CH <sub>3</sub> )=NO-n-C <sub>6</sub> H <sub>13</sub>	
30	487 2-Cl, 3-CH <sub>3</sub>	
	488 2-Cl, 4-CH <sub>3</sub>	
	489 2-Cl, 5-CH <sub>3</sub>	
	490 3-Cl, 4-CH <sub>3</sub>	m.p.: 88 - 90°C
35	491 3-Cl, 5-CH <sub>3</sub>	m.p.: 86 - 88°C
	492 3-Cl, 2-CH <sub>3</sub>	
	493 2-CH <sub>3</sub> , 4-Cl	
	494 2-CH <sub>3</sub> , 5-Cl	
40	495 3-CH <sub>3</sub> , 4-Cl	m.p.: 88 - 90°C
	496 3-Cl, 5-t-C <sub>4</sub> H <sub>9</sub>	



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No.	A <sub>m</sub>	Data
497	2-Cl, 4-t-C <sub>4</sub> H <sub>9</sub>	
498	3-Cl, 4-t-C <sub>4</sub> H <sub>9</sub>	
5	499	3-Cl, 4-Cyclohexyl
	500	2-F, 4-CH <sub>3</sub>
	501	3-F, 4-CH <sub>3</sub> m.p.: 42 - 44°C
	502	3-F, 5-CH <sub>3</sub>
10	503	2-CH <sub>3</sub> , 4-F
	504	3-CH <sub>3</sub> , 4-F m.p.: 75 - 78°C
	505	2-F, 3-t-C <sub>4</sub> H <sub>9</sub>
15	506	2-F, 4-t-C <sub>4</sub> H <sub>9</sub>
	507	3-F, 4-t-C <sub>4</sub> H <sub>9</sub>
	508	2-F, 4-OCH <sub>3</sub> m.p.: 65 - 6°C
	509	2-Br, 4-CH <sub>3</sub>
20	510	3-Br, 4-CH <sub>3</sub> m.p.: 54 - 56°C
	511	3-Br, 5-CH <sub>3</sub>
	512	2-CH <sub>3</sub> , 4-Br
	513	3-CH <sub>3</sub> , 4-Br m.p.: 97 - 99°C
25	514	2-Br, 3-t-C <sub>4</sub> H <sub>9</sub>
	515	2-Br, 4-t-C <sub>4</sub> H <sub>9</sub>
	516	3-Br, 4-t-C <sub>4</sub> H <sub>9</sub>
	517	2-Cl, 4-NO <sub>2</sub>
30	518	3-Cl, 4-NO <sub>2</sub>
	519	3-Cl, 5-NO <sub>2</sub>
	520	3-NO <sub>2</sub> , 4-Cl m.p.: 108 - 110°C
	521	3-Cl, 4-(But-2-en-2-yl)
35	522	3-Cl, 4-OCH <sub>3</sub> oil; IR (film): 3340, 2940, 1672, 1507, 1271, 1063, 1037
	523	3-OCH <sub>3</sub> , 4-Cl
40	524	3-Cl, 4-OC <sub>2</sub> H <sub>5</sub> oil; IR (film): 3345, 2940, 1671, 1505, 1270, 1060, 1038
	525	3-Cl, 4-O-i-C <sub>3</sub> H <sub>7</sub> oil; IR (film): 3345, 1280, 2940, 1671, 1525, 1502, 1269, 1037, 979
45	526	3-Cl, 4-O-t-C <sub>4</sub> H <sub>9</sub>

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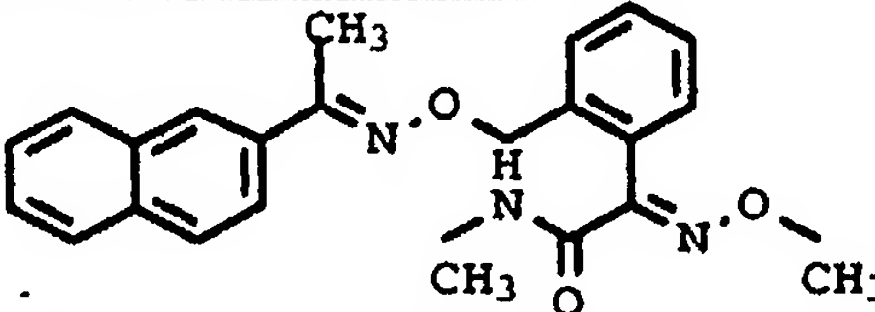
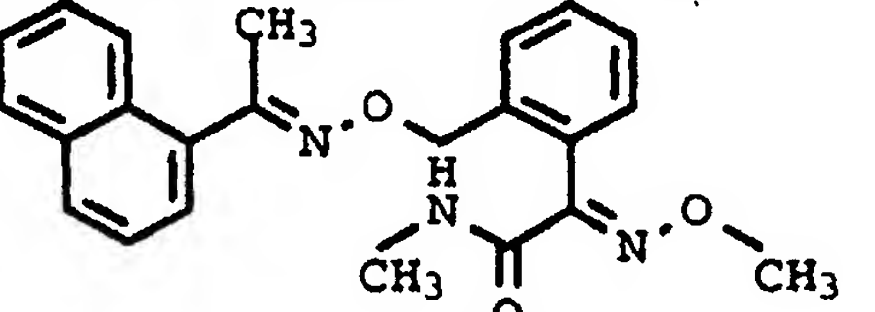
36

No.	A <sub>m</sub>	Data
527	2-Cl, 5-CF <sub>3</sub>	
528	3-Cl, 4-CF <sub>3</sub>	
529	3-Cl, 5-CF <sub>3</sub>	
530	3-CF <sub>3</sub> , 4-Cl	m.p.: 68 - 70°C
531	3-Cl, 4-CH <sub>2</sub> Cl	
532	3-Cl, 4-OCF <sub>3</sub>	
533	3-Cl, 5-OCF <sub>3</sub>	
534	3-OCF <sub>3</sub> , 4-Cl	
535	3-Cl, 4-OCH <sub>2</sub> CF <sub>3</sub>	
536	3-Cl, 4-OC <sub>2</sub> F <sub>5</sub>	
537	3-Cl, 4-OCF <sub>2</sub> CHF <sub>2</sub>	
538	3-NH <sub>2</sub> , 4-Cl	
539	3-Cl, 4-O-C(=O)CH <sub>3</sub>	
540	3-Cl, 4-S-t-C <sub>4</sub> H <sub>9</sub>	
541	3-Cl, 5-S-t-C <sub>4</sub> H <sub>9</sub>	
542	4-Cl, 3-S-t-C <sub>4</sub> H <sub>9</sub>	
543	3-F, 5-CF <sub>3</sub>	m.p.: 76 - 78°C
544	2-CH <sub>3</sub> , 4-CN	
545	3-NO <sub>2</sub> , 4-CH <sub>3</sub>	
546	2-CH <sub>3</sub> , 4-OCH <sub>3</sub>	
547	3-CH <sub>3</sub> , 4-OCH <sub>3</sub>	m.p.: 85 - 89°C
548	3-CH <sub>3</sub> , 5-OCH <sub>3</sub>	
549	3-OCH <sub>3</sub> , 4-CH <sub>3</sub>	
550	3-t-C <sub>4</sub> H <sub>9</sub> , 4-OCH <sub>3</sub>	
551	3-t-C <sub>4</sub> H <sub>9</sub> , 5-OCH <sub>3</sub>	
552	3-OCH <sub>3</sub> , 4-t-C <sub>4</sub> H <sub>9</sub>	
553	2-CH <sub>3</sub> , 4-O-i-C <sub>3</sub> H <sub>7</sub>	
554	3-CH <sub>3</sub> , 4-O-i-C <sub>3</sub> H <sub>7</sub>	
555	3-O-i-C <sub>3</sub> H <sub>7</sub> , 4-CH <sub>3</sub>	
556	3-t-C <sub>4</sub> H <sub>9</sub> , 4-O-i-C <sub>3</sub> H <sub>7</sub>	
557	3-t-C <sub>4</sub> H <sub>9</sub> , 5-O-i-C <sub>3</sub> H <sub>7</sub>	
558	3-O-i-C <sub>3</sub> H <sub>7</sub> , 4-t-C <sub>4</sub> H <sub>9</sub>	
559	3-t-C <sub>4</sub> H <sub>9</sub> , 4-O-t-C <sub>4</sub> H <sub>9</sub>	
560	2-CH <sub>3</sub> , 4-CF <sub>3</sub>	
561	2-CH <sub>3</sub> , 5-CF <sub>3</sub>	
562	3-CH <sub>3</sub> , 4-CF <sub>3</sub>	
563	3-CH <sub>3</sub> , 5-CF <sub>3</sub>	

No.	A <sub>m</sub>	Data
564	3-CF <sub>3</sub> , 4-CH <sub>3</sub>	
565	2-CH <sub>3</sub> , 4-OCF <sub>3</sub>	
566	3-CH <sub>3</sub> , 4-OCF <sub>3</sub>	
567	3-CH <sub>3</sub> , 5-OCF <sub>3</sub>	
568	3-OCF <sub>3</sub> , 4-CH <sub>3</sub>	
569	3-CH <sub>3</sub> , 4-OCH <sub>2</sub> CF <sub>3</sub>	
570	3-CH <sub>3</sub> , 4-OC <sub>2</sub> F <sub>5</sub>	
571	3-CH <sub>3</sub> , 4-OCF <sub>2</sub> CHF <sub>2</sub>	
572	3-CH <sub>3</sub> , 4-S-t-C <sub>4</sub> H <sub>9</sub>	
573	3-CF <sub>3</sub> , 4-OCH <sub>3</sub>	
574	3-CF <sub>3</sub> , 4-O-t-C <sub>4</sub> H <sub>9</sub>	
575	3-OCH <sub>3</sub> , 4-CF <sub>3</sub>	
576	2-CH <sub>3</sub> , 4-C(CH <sub>3</sub> )=NOCH <sub>3</sub>	
577	3-CH <sub>3</sub> , 4-C(CH <sub>3</sub> )=NOCH <sub>3</sub>	
578	3-Cl, 4-CH <sub>3</sub> , 5-Cl	
579	3-Cl, 4-t-C <sub>4</sub> H <sub>9</sub> , 5-Cl	
580	3-Cl, 4-OCH <sub>3</sub> , 5-Cl	
581	3-Cl, 4-O-t-C <sub>4</sub> H <sub>9</sub> , 5-Cl	
582	3-Cl, 4-NH <sub>2</sub> , 5-Cl	
583	3-CO <sub>2</sub> CH <sub>3</sub> , 4-OCH <sub>3</sub>	
584	3-NH <sub>2</sub> , 4-OCH <sub>3</sub>	
585	2-OH, 5-CH <sub>3</sub>	m.p.: 128 - 131°C
586	2-OCH <sub>3</sub> , 3-Cl, 5-Cl	m.p.: 65 - 67°C
587	3-Br, 4-OCH <sub>3</sub> , 5-Br	
588	3-i-C <sub>3</sub> H <sub>7</sub> , 4-OCH <sub>3</sub> , 5-i-C <sub>3</sub> H <sub>7</sub>	
589	3-NO <sub>2</sub> , 4-OCH <sub>3</sub>	m.p.: 118 - 120°C
590	3-(t-C <sub>4</sub> H <sub>9</sub> ), 4-OCH <sub>3</sub> , 5-(t-C <sub>4</sub> H <sub>9</sub> )	
591	3-Cl, 4-s-C <sub>4</sub> H <sub>9</sub>	m.p.: 58 - 60°C
592	3-Cl, 4-i-C <sub>3</sub> H <sub>7</sub>	m.p.: 91 - 92°C
593	3-Cl, 4-C <sub>2</sub> H <sub>5</sub>	
594	3-Cl, 4-OC <sub>6</sub> H <sub>5</sub>	
595	3-Cl, 4-OCHF <sub>2</sub>	m.p.: 60 - 62°C

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No.	A <sub>m</sub>	Data
5	596	3-CF <sub>3</sub> , 4-OC <sub>6</sub> H <sub>5</sub>
		oil, IR (film): 3340, 2940, 1675, 1498, 1279, 1249, 1133, 1053, 1037
	597	3-i-C <sub>3</sub> H <sub>7</sub> , 4-OCH <sub>3</sub>
10	598	3-CHF <sub>2</sub>
		oil, IR (film): 3340, 2940, 1670, 1528, 1208, 1036, 980
	599	4-CHF <sub>2</sub>
15	600	3-Br, 4-OCH <sub>3</sub> , 5-Cl
		m.p.: 108 - 111°C
	601	3-Br, 4-OC <sub>6</sub> H <sub>5</sub> , 5-Br
	602	3,5-(CO <sub>2</sub> CH <sub>3</sub> ) <sub>2</sub>
	603	3-OC <sub>2</sub> H <sub>5</sub> , - 4-Cl
	604	3-O-i-C <sub>3</sub> H <sub>7</sub> , 4-Cl
20	605	3-O-t-C <sub>4</sub> H <sub>9</sub> , 4-Cl
	606	3-CH <sub>3</sub> , 4-OC <sub>2</sub> H <sub>5</sub>
		oil, IR (film): 3340, 2940, 1671, 1507, 1247, 1939
25	607	3-CH <sub>3</sub> , 4-O-t-C <sub>4</sub> H <sub>9</sub>
	608	3-OC <sub>2</sub> H <sub>5</sub> , 4-CH <sub>3</sub>
	609	3-O-t-C <sub>4</sub> H <sub>9</sub> , 4-CH <sub>3</sub>
	610	3-Cl, 4-OCH <sub>3</sub> , 5-CH <sub>3</sub>
30	611	
		m.p.: 92 - 95°C
35	612	
		oil, IR (film): 3350, 2932, 1671, 1525, 1037, 979, 777
40	613	3-Cl, 4-n-C <sub>3</sub> H <sub>7</sub>
		oil; IR (film): 3349, 2937, 1671, 1506, 1271, 1037, 978
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No.	A <sub>m</sub>	Data
5	614 3-Cl, 4-0-Alkyl	oil; IR (film): 3340, 2930, 1672, 1504, 1270, 1037, 980
	615 3-Cl, 4-0-n-C <sub>4</sub> H <sub>9</sub>	oil; IR (film): 3350, 2936, 1672, 1506, 1271, 1037, 979
10	616 3-Cl, 4-0-i-C <sub>4</sub> H <sub>9</sub>	oil; IR (film): 3345, 2960, 1670, 1506, 1271, 1059, 1037
15	617 3-Cl, 4-0-CH <sub>2</sub> -CH=CHCH <sub>3</sub>	oil; IR (film): 3345, 2937, 1673, 1504, 1269, 1037, 980
20	618 3-Cl, 4-0-CH <sub>2</sub> -CH <sub>2</sub> -CH <sub>2</sub> -CH <sub>2</sub> Cl	oil; IR (film): 3340, 2938, 1675, 1506, 1270, 1037
25	619 3-Cl, 4-0-n-C <sub>5</sub> H <sub>11</sub>	oil; IR (film): 3345, 2936, 1673, 1506, 1270, 1058, 1037, 980
	620 3-Cl, 4-0-i-C <sub>5</sub> H <sub>11</sub>	oil; IR (film): 3340, 2955, 1672, 1506, 1269, 1060, 1037, 979
30	621 3-Cl, 4-0-CH <sub>2</sub> -CH=C(CH <sub>3</sub> ) <sub>2</sub>	oil; IR (film): 3345, 2940, 1674, 1504, 1269, 1037, 980
35	622 3-Cl, 4-0-neo-C <sub>5</sub> H <sub>11</sub>	oil; IR (film): 3345, 2956, 1673, 1507, 1259, 1061, 1038, 1014
40	623 3-Cl, 4-0-n-C <sub>6</sub> H <sub>13</sub>	oil; IR (film): 3417, 1681, 1267, 1034, 1026, 937
45	624 3-CH <sub>3</sub> , 4-0-n-C <sub>3</sub> H <sub>7</sub>	oil; IR (film): 3340, 2937, 1671, 1506, 1038, 979

No.	A <sub>m</sub>	Data
5	625 3-CH <sub>3</sub> , 4-0-Alkyl	oil; IR (film): 3350, 2940, 1669, 1505, 1036, 980
	626 3-CH <sub>3</sub> , 4-0-n-C <sub>4</sub> H <sub>9</sub>	oil; IR (film): 3340, 2935, 1671, 1507, 12, 48, 1038, 979
10	627 3-CH <sub>3</sub> , 4-0-CH <sub>2</sub> -CH=CHCH <sub>3</sub>	oil; IR (film): 3350, 2940, 1672, 1525, 1505, 1247, 1037, 979
15	628 3-CH <sub>3</sub> , 4-0-n-C <sub>5</sub> H <sub>11</sub>	oil; IR (film): 3340, 2935, 1668, 1526, 1507, 1250, 1037, 980
20	629 3-CH <sub>3</sub> , 4-0-i-C <sub>5</sub> H <sub>11</sub>	oil; IR (film): 3340, 2954, 1671, 1507, 1248, 1038, 980
25	630 3-CH <sub>3</sub> , 4-0-CH <sub>2</sub> -CH=C(CH <sub>3</sub> ) <sub>2</sub>	oil; IR (film): 3350, 2935, 1672, 1505, 1037, 979
	631 3-CH <sub>3</sub> , 4-0-neo-C <sub>5</sub> H <sub>11</sub>	oil; IR (film): 3340, 2954, 1670, 1507, 1247, 1038
30	632 3-CH <sub>3</sub> , 4-0-n-C <sub>6</sub> H <sub>13</sub>	oil; IR (film): 3345, 2934, 1672, 1506, 1247, 1038
	633 4-0-CH <sub>2</sub> -CH <sub>2</sub> -CH <sub>2</sub> -CH <sub>2</sub> Nr	m.p.: 74 - 77°C
35	634 3,5-(OCH <sub>3</sub> ) <sub>2</sub> , 4 OH	m.p.: 80 - 83°C
	635 2,3,4,5,6-F <sub>5</sub>	m.p.: 83 - 84°C
40	636 3,5-(OH) <sub>2</sub>	m.p.: 68 - 70°C
	637 4-0-i-C <sub>5</sub> H <sub>11</sub>	m.p.: 91 - 93°C
45	638 4-0-neo-C <sub>5</sub> H <sub>11</sub>	oil; IR (film): 3350, 2956, 1675, 1514, 1248, 1038, 1017

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No.	A <sub>m</sub>	Data
639	4-[O-CH <sub>2</sub> -CH=C(CH <sub>3</sub> ) <sub>2</sub> ]	m.p.: 113 - 116°C
5 640	4-0-CH <sub>2</sub> -CH <sub>2</sub> -CH <sub>2</sub> -CH <sub>2</sub> Cl	m.p.: 77 - 80°C
641	4-0-CH <sub>2</sub> .CH <sub>2</sub> -CH <sub>2</sub> CN	oil; IR (film): 3375, 2940, 2245, 1674, 1514, 1249, 1037
10 642	2-0-i-C <sub>5</sub> H <sub>11</sub>	oil; IR (film): 3350, 2955, 2935, 1672, 1525, 1222, 1038, 980
15 643	3-[O-CH <sub>2</sub> -CH=C(CH <sub>3</sub> ) <sub>2</sub> ]	oil; IR (film): 3355, 2935, 1673, 1525, 1219, 1037, 980
20 644	3-0-CH <sub>2</sub> -CH <sub>2</sub> -CH <sub>2</sub> -CH <sub>2</sub> Cl	oil; IR (film): 3355, 2938, 1675, 1525, 1225, 1037, 979
645	4-NHC(=O)H	m.p.: 140 - 142°C
25 646	3-CH <sub>3</sub> , 4 OH	m.p.: 159 - 161°C
647	3 CN	m.p.: 200 - 202°C
648	3-CH <sub>3</sub> , 4-0-iC <sub>3</sub> H <sub>7</sub>	m.p.: 85 - 87°C
30 649	3-Cl, 4-OH	m.p.: 160 - 163°C
650	4-C(CH <sub>3</sub> ) <sub>2</sub> CN	m.p.: 83 - 85°C
35 651	3-CF <sub>3</sub> , 4 F	m.p.: 60 - 62°C

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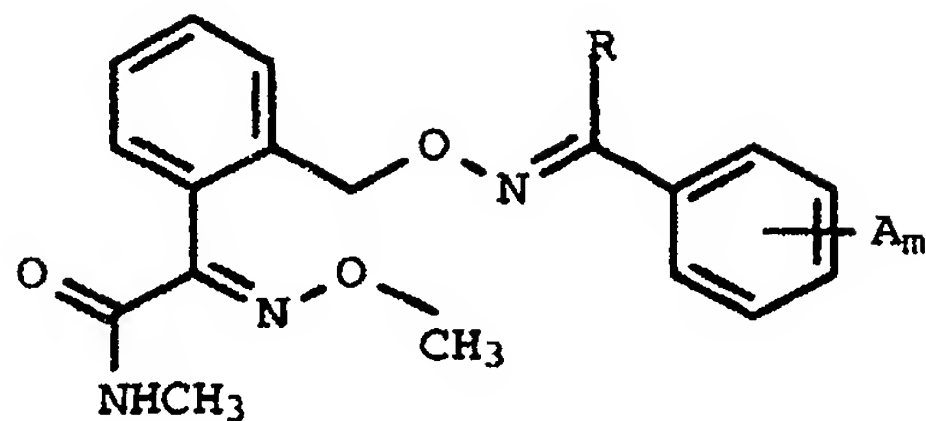
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Table 2

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	No.	A <sub>m</sub>	R	Data
15	1	H	C <sub>2</sub> H <sub>5</sub>	oil; IR (film): 3350, 2938, 1671, 1525, 1463, 1091, 1037, 979, 912, 770, 696
20	2	2-Cl	C <sub>2</sub> H <sub>5</sub>	m.p. 71 - 72°C
	3	3-Cl	C <sub>2</sub> H <sub>5</sub>	m.p. 106 - 107°C
	4	4-Cl	C <sub>2</sub> H <sub>5</sub>	
25	5	3,4-Cl <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	m.p. 120 - 122°C
	6	3,5-Cl <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	
	7	2,3,4-Cl <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	
	8	3,4,5-Cl <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	
30	9	2-Br	C <sub>2</sub> H <sub>5</sub>	
	10	3-Br	C <sub>2</sub> H <sub>5</sub>	
	11	4-Br	C <sub>2</sub> H <sub>5</sub>	m.p. 107 - 108°C
35	12	2-NO <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	
	13	3-NO <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	
	14	4-NO <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	
	15	2-CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	
40	16	3-CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	
	17	4-CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	oil; IR (film): 3350, 2937, 1670, 1525, 1514, 1463, 1037, 979, 959, 911, 822
45	18	2-4-(CH <sub>3</sub> ) <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	
	19	3,4-(CH <sub>3</sub> ) <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	



5	20	3,5-(CH <sub>3</sub> ) <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	
	21	3-i-C <sub>3</sub> H <sub>7</sub>	C <sub>2</sub> H <sub>5</sub>	
	22	4-i-C <sub>3</sub> H <sub>7</sub>	C <sub>2</sub> H <sub>5</sub>	
	23	3-t-C <sub>4</sub> H <sub>9</sub>	C <sub>2</sub> H <sub>5</sub>	
	24	4-t-C <sub>4</sub> H <sub>9</sub>	C <sub>2</sub> H <sub>5</sub>	m.p. 94 - 95°C
10	25	3-CH <sub>3</sub> , 4-t-C <sub>4</sub> H <sub>9</sub>	C <sub>2</sub> H <sub>5</sub>	
	26	3-t-C <sub>4</sub> H <sub>9</sub> , 4-CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	
	27	3-OCH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	
	28	4-OCH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	m.p. 96 - 97°C
	29	3-O-i-C <sub>3</sub> H <sub>7</sub>	C <sub>2</sub> H <sub>5</sub>	
15	30	4-O-i-C <sub>3</sub> H <sub>7</sub>	C <sub>2</sub> H <sub>5</sub>	
	31	3-O-t-C <sub>4</sub> H <sub>9</sub>	C <sub>2</sub> H <sub>5</sub>	
	32	4-O-t-C <sub>4</sub> H <sub>9</sub>	C <sub>2</sub> H <sub>5</sub>	
	33	3-CF <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	
	34	4-CF <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	
20	35	3-OCF <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	
	36	4-OCF <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	
	37	3-S-t-C <sub>4</sub> H <sub>9</sub>	C <sub>2</sub> H <sub>5</sub>	
	38	4-S-t-C <sub>4</sub> H <sub>9</sub>	C <sub>2</sub> H <sub>5</sub>	
	39	3-C(CH <sub>3</sub> )=NOCH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	
25	40	4-C(CH <sub>3</sub> )=NOCH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	
	41	H	n-C <sub>3</sub> H <sub>7</sub>	
	42	2-Cl	n-C <sub>3</sub> H <sub>7</sub>	
	43	3-Cl	n-C <sub>3</sub> H <sub>7</sub>	
	44	4-Cl	n-C <sub>3</sub> H <sub>7</sub>	cf. Example 5
30	45	3,5-Cl <sub>2</sub>	n-C <sub>3</sub> H <sub>7</sub>	
	46	2,3,4-Cl <sub>3</sub>	n-C <sub>3</sub> H <sub>7</sub>	
	47	2-Br	n-C <sub>3</sub> H <sub>7</sub>	
	48	3-Br	n-C <sub>3</sub> H <sub>7</sub>	
	49	4-Br	n-C <sub>3</sub> H <sub>7</sub>	
35	50	2-CH <sub>3</sub>	n-C <sub>3</sub> H <sub>7</sub>	
	51	3-CH <sub>3</sub>	n-C <sub>3</sub> H <sub>7</sub>	
	52	4-CH <sub>3</sub>	n-C <sub>3</sub> H <sub>7</sub>	
	53	4-t-C <sub>4</sub> H <sub>9</sub>	n-C <sub>3</sub> H <sub>7</sub>	
	54	4-CF <sub>3</sub>	n-C <sub>3</sub> H <sub>7</sub>	
40	55	4-O-t-C <sub>4</sub> H <sub>9</sub>	n-C <sub>3</sub> H <sub>7</sub>	
	56	4-OCF <sub>3</sub>	n-C <sub>3</sub> H <sub>7</sub>	
	57	H	i-C <sub>3</sub> H <sub>7</sub>	
	58	2-Cl	i-C <sub>3</sub> H <sub>7</sub>	

5	59	3-Cl	i-C <sub>3</sub> H <sub>7</sub>	
	60	4-Cl	i-C <sub>3</sub> H <sub>7</sub>	
	61	3,5-Cl <sub>2</sub>	i-C <sub>3</sub> H <sub>7</sub>	
	62	2,3,4-Cl <sub>3</sub>	i-C <sub>3</sub> H <sub>7</sub>	
	63	2-Br	i-C <sub>3</sub> H <sub>7</sub>	
10	64	3-Br	i-C <sub>3</sub> H <sub>7</sub>	
	65	4-Br	i-C <sub>3</sub> H <sub>7</sub>	
	66	2-CH <sub>3</sub>	i-C <sub>3</sub> H <sub>7</sub>	
	67	3-CH <sub>3</sub>	i-C <sub>3</sub> H <sub>7</sub>	
	68	4-CH <sub>3</sub>	i-C <sub>3</sub> H <sub>7</sub>	
15	69	4-t-C <sub>4</sub> H <sub>9</sub>	i-C <sub>3</sub> H <sub>7</sub>	
	70	4-CF <sub>3</sub>	i-C <sub>3</sub> H <sub>7</sub>	
	71	4-O-t-C <sub>4</sub> H <sub>9</sub>	i-C <sub>3</sub> H <sub>7</sub>	
	72	4-OCF <sub>3</sub>	i-C <sub>3</sub> H <sub>7</sub>	
	73	2-Cl	Cyclopropyl	
20	74	3-Cl	Cyclopropyl	
	75	3,4-Cl <sub>2</sub>	Cyclopropyl	
	76	3,5-Cl <sub>2</sub>	Cyclopropyl	
	77	2,3,4-Cl <sub>3</sub>	Cyclopropyl	
	78	2-Br	Cyclopropyl	
25	79	3-Br	Cyclopropyl	
	80	4-Br	Cyclopropyl	
	81	2-CH <sub>3</sub>	Cyclopropyl	
	82	3-CH <sub>3</sub>	Cyclopropyl	
	83	4-CH <sub>3</sub>	Cyclopropyl	
30	84	4-CF <sub>3</sub>	Cyclopropyl	
	85	4-O-t-C <sub>4</sub> H <sub>9</sub>	Cyclopropyl	
	86	4-OCF <sub>3</sub>	Cyclopropyl	
	87	4F	C <sub>2</sub> H <sub>5</sub>	m.p. 94 - 95°C
35				

The novel compounds are suitable as fungicides and insecticides.

The fungicidal compounds according to the invention, or agents  
 40 containing them, may be applied for instance in the form of  
 directly sprayable solutions, powders, suspensions (including  
 high-percentage aqueous, oily or other suspensions), dispersions,  
 emulsions, oil dispersions, pastes, dusts, broadcasting agents,  
 or granules by spraying, atomizing, dusting, broadcasting or  
 45 watering. The forms of application depend entirely on the purpose  
 for which the agents are being used, but they must ensure as fine

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a distribution of the active ingredients according to the invention as possible.

Normally, the plants are sprayed or dusted with the active ingredients or the seeds of the plants are treated with the active ingredients.

The formulations are produced in known manner, for example by extending the active ingredient with solvents and/or carriers, with or without the use of emulsifiers and dispersants; if water is used as solvent, it is also possible to employ other organic solvents as auxiliary solvents. Suitable auxiliaries for this purpose are solvents such as aromatics (e.g., xylene), chlorinated aromatics (e.g., chlorobenzenes), paraffins (e.g., crude oil fractions), alcohols (e.g., methanol, butanol), ketones (e.g., cyclohexanone), amines (e.g., ethanolamine, dimethylformamide), and water; carriers such as ground natural minerals (e.g., kaolins, aluminas, talc and chalk) and ground synthetic minerals (e.g., highly disperse silica and silicates); emulsifiers such as nonionic and anionic emulsifiers (e.g., polyoxyethylene fatty alcohol ethers, alkyl sulfonates and aryl sulfonates); and dispersants such as lignin-sulfite waste liquors and methylcellulose.

Examples of surfactants are: alkali metal, alkaline earth metal and ammonium salts of aromatic sulfonic acids, e.g., ligninsulfonic acid, phenolsulfonic acid, naphthalenesulfonic acid and dibutyl-naphthalenesulfonic acid, and of fatty acids, alkyl and alkylaryl sulfonates, and alkyl, lauryl ether and fatty alcohol sulfates, and salts of sulfated hexadecanols, heptadecanols, and octadecanols, salts of fatty alcohol glycol ethers, condensation products of sulfonated naphthalene and naphthalene derivatives with formaldehyde, condensation products of naphthalene or naphthalenesulfonic acids with phenol and formaldehyde, polyoxyethylene octylphenol ethers, ethoxylated isooctylphenol, ethoxylated octylphenol and ethoxylated nonylphenol, alkylphenol polyglycol ethers, tributylphenyl polyglycol ethers, alkylaryl polyether alcohols, isotridecyl alcohol, fatty alcohol ethylene oxide condensates, ethoxylated castor oil, polyoxyethylene alkyl ethers, ethoxylated polyoxypropylene, lauryl alcohol polyglycol ether acetal, sorbitol esters, lignin-sulfite waste liquors and methyl cellulose.

Powders, dusts and broadcasting agents may be prepared by mixing or grinding the active ingredients with a solid carrier.

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Granules, e.g., coated, impregnated or homogeneous granules, may be prepared by bonding the active ingredients to solid carriers. Examples of solid carriers are mineral earths such as silicic acids, silica gels, silicates, talc, kaolin, attapulgus clay, 5 limestone, lime, chalk, bole, loess, clay, dolomite, diatomaceous earth, calcium sulfate, magnesium sulfate, magnesium oxide, ground plastics, fertilizers such as ammonium sulfate, ammonium phosphate, ammonium nitrate, and ureas, and vegetable products such as grain meals, bark meal, wood meal, and nutshell meal, 10 cellulosic powders, etc.

Examples of formulations are given below.

I. A solution of 90 parts by weight of compound no. 5 from Table 15 1 (5/1) and 10 parts by weight of N-methyl- $\alpha$ -pyrrolidone, which is suitable for application in the form of very fine drops.

II. A mixture of 20 parts by weight of compound no. 6/1, 80 parts by weight of xylene, 10 parts by weight of the adduct of 20 8 to 10 moles of ethylene oxide and 1 mole of oleic acid-N-mono-ethanolamide, 5 parts by weight of the calcium salt of dodecyl-benzenesulfonic acid, and 5 parts by weight of the adduct of 40 moles of ethylene oxide and 1 mole of castor oil. By finely dispersing the mixture in water, an aqueous dispersion is ob- 25 tained.

III. An aqueous dispersion of 20 parts by weight of compound no. 8/1, 40 parts by weight of cyclohexanone, 30 parts by weight of isobutanol, 20 parts by weight of the adduct of 40 moles of 30 ethylene oxide and 1 mole of castor oil.

IV. An aqueous dispersion of 20 parts by weight of compound no. 9/1, 25 parts by weight of cyclohexanol, 65 parts by weight of a mineral oil fraction having a boiling point between 210 and 280°C, 35 and 10 parts by weight of the adduct of 40 moles of ethylene oxide and 1 mole of castor oil.

V. A hammer-milled mixture of 80 parts by weight of compound no. 10/1, 3 parts by weight of the sodium salt of diisobutyl-naphtha- 40 lene- $\alpha$ -sulfonic acid, 10 parts by weight of the sodium salt of a lignin-sulfonic acid obtained from a sulfite waste liquor, and 7 parts by weight of powdered silica gel. By finely dispersing the mixture in water, a spray liquor is obtained.

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VI. An intimate mixture of 3 parts by weight of compound no. 11/1 and 97 parts by weight of particulate kaolin. The dust contains 3wt% of the active ingredient.

5 VII. An intimate mixture of 30 parts by weight of compound no. 16/1, 92 parts by weight of powdered silica gel and 8 parts by weight of paraffin oil sprayed onto the surface of this silica gel. This formulation of the active ingredient exhibits good adherence.

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VIII. A stable aqueous dispersion of 40 parts by weight of compound no. 18/1, 10 parts of the sodium salt of a phenolsulfonic acid-urea-formaldehyde condensate, 2 parts of silica gel and 48 parts of water, which dispersion can be further diluted.

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IX. A stable oily dispersion of 20 parts by weight of compound no. 19/1, 2 parts by weight of the calcium salt of dodecylbenzenesulfonic acid, 8 parts by weight of a fatty alcohol polyglycol ether, 2 parts by weight of the sodium salt of a phenolsulfonic acid-urea-formaldehyde condensate and 68 parts by weight of a paraffinic mineral oil.

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The compounds are extremely effective on a broad spectrum of phytopathogenic fungi, in particular those from the class consisting of the Ascomycetes and Basidiomycetes. Some of them have a systemic action and can be used as foliar and soil fungicides.

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The fungicidal compounds are of particular interest for controlling a large number of fungi in various crops or their seeds, especially wheat, rye, barley, oats, rice, Indian corn, lawns, cotton, soybeans, coffee, sugar cane, fruit and ornamentals in horticulture and viticulture, and in vegetables such as cucumbers, beans and cucurbits.

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The compounds are applied by treating the fungi or the seeds, plants or materials to be protected against fungus attack, or the soil with a fungicidally effective amount of the active ingredients.

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The active ingredients may be applied before or after infection of the materials, plants or seeds by the fungi.

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Specifically, the compounds I are suitable for controlling the following plant diseases:

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- Erysiphe graminis in cereals,  
Erysiphe cichoracearum and Sphaerotheca fuliginea in cucurbits,  
Podosphaera leucotricha in apples,  
Uncinula necator in vines,  
5 Puccinia species in cereals,  
Rhizoctonia solani in cotton,  
Ustilago species in cereals and sugar cane,  
Venturia inaequalis (scab) in apples,  
Helminthosporium species in cereals,  
10 Septoria nodorum in wheat,  
Botrytis cinerea (gray mold) in strawberries and grapes,  
Cercospora arachidicola in groundnuts,  
Pseudocercospora herpotrichoides in wheat and barley,  
Pyricularia oryzae in rice,  
15 Phytophthora infestans in potatoes and tomatoes,  
Fusarium and Verticillium species in various plants,  
Plasmopara viticola in grapes,  
Alternaria species in fruit and vegetables.
- 20 The novel compounds may also be used for protecting materials (timber), for example against Paecilomyces variotii.

The fungicidal agents generally contain from 0.1 to 95, and preferably from 0.5 to 90, wt% of active ingredient.

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The application rates depend on the type of effect desired, and vary from 0.02 to 3 kg/ha.

- When the active ingredients are used for treating seed, rates of  
30 from 0.001 to 50, and preferably from 0.01 to 10, g per kg of seed are generally required.

- When the agents according to the invention are used as fungicides, they may be applied together with other active ingredients, for example herbicides, insecticides, growth regulators,  
35 other fungicides and fertilizers.

When mixed with other fungicides, the spectrum of fungicidal action is frequently increased.

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Use examples

- For the following use examples the comparative substances employed were methyl 2-methoximino-2-[2'-(1''-(1'''-naph-  
45 thyl)-1''-methyl)-iminooxymethyl]-phenylacetate (A), methyl 2-methoximino-2-[2'-(1''-(2'''-naphthyl)-1''-methyl)-iminooxymethyl]-phenylacetate (B) and methyl 2-methoximino-2-[2'-(1''-(1'''-



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phenyl)-1''-methyl)-iminooxymethyl]-phenylacetate (C) - all known from EP 463 488.

## 5 Use Example 1

## Action on Fusarium culmorum in wheat

Leaves of pot-grown wheat seedlings of the "Kanzler" variety were  
10 sprayed to runoff with aqueous liquors containing (dry basis) 80%  
of active ingredient and 20% of emulsifier. The following day  
they were inoculated with a spore suspension of Fusarium culmorum  
and then placed in a climatic cabinet of high humidity (> 90%) at  
22-24°C. The extent of fungus spread was assessed visually after 6  
15 days.

20	Active ingredient	Leaf attack in % after ap- plication of aqueous for- mulations containing 500 ppm of active ingredient
	Table 1, No. 1	0
	Table 1, No. 2	5
	Comparative product A	70
25	Comparative product B	25
	Untreated	70

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Use Example 2

Action on *Plasmopara viticola*

Leaves of potted vines of the Müller-Thurgau variety were sprayed  
5 with aqueous suspensions containing (dry basis) 80% of active  
ingredient and 20% of emulsifier. To assess the duration of  
action, the plants were set up, after the sprayed-on layer had  
dried, for 8 days in the greenhouse. Then the leaves were infec-  
ted with a zoospore suspension of *Plasmopara viticola*. The plants  
10 were first placed for 48 hours in a water vapor-saturated chamber  
at 24°C and then in a greenhouse for 5 days at from 20 to 30°C. To  
accelerate and intensify the sporangiophore discharge, the plants  
were then again placed in the moist chamber for 16 hours. The  
extent of fungus attack was then assessed on the undersides of  
15 the leaves.

20	Active ingredient	Leaf attack in % after ap- plication of aqueous for- mulations containing 16 ppm of active ingredient
	Table 1, No. 5	5
	Table 1, No. 19	0
	Table 1, No. 63	5
25	Table 1, No. 74	15
	Table 1, No. 118	5
	Table 1, No. 228	5
	Table 1, No. 282	0
30	Table 1, No. 283	5
	Comparative product C	25
	Untreated	70

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Use example 3

Action on wheat brown rust

- 5 Leaves of pot-grown wheat seedlings of the "Frühgold" variety were dusted with spores of brown rust (*Puccinia recondita*). The pots were then placed for 24 hours at 20 to 22°C in a high-humidity (90 - 95%) chamber. During this period the spores germinated and the germ tubes penetrated the leaf tissue. The infected
- 10 plants were then sprayed to runoff with aqueous liquors containing (dry basis) 80% of active ingredient and 20% of emulsifier. After the sprayed-on layer had dried, the plants were set up in the greenhouse at 20 to 22°C and a relative humidity of 65 to 70%. The extent of rust fungus spread on the leaves was assessed after
- 15 8 days.

	Active ingredient	Leaf attack in % after application of aqueous formulations containing 63 ppm of active ingredient
20	Table 1, No. 1	0
	Table 1, No. 2	5
	Table 1, No. 19	15
25	Table 1, No. 63	0
	Table 1, No. 118	5
	Table 1, No. 282	0
	Table 1, No. 283	0
30	Comparative product A	35
	Comparative product B	25
	Comparative product C	65
	Untreated	65

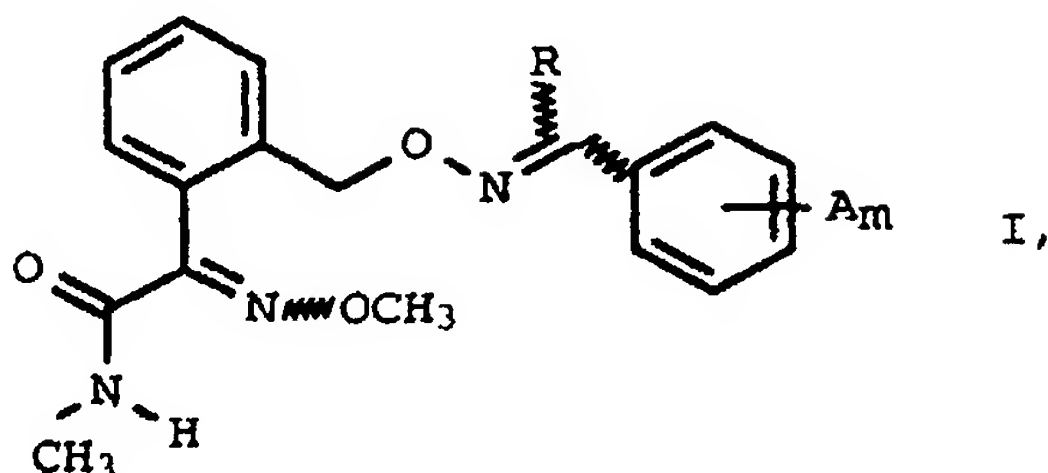
- 35 The results obtained in these comparative experiments show that the active ingredients from Table 1, Nos. 1, 2, 5, 19, 63, 74, 118, 228, 282 and 283, when applied in the stated concentrations in spray liquors, have a better fungicidal action than the prior
- 40 art comparative active ingredients A, B and C.

We claim:

1. An N-methylamide of the formula I

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where

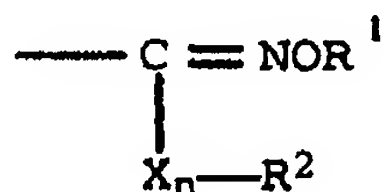
R is C<sub>1</sub>-C<sub>3</sub>-alkyl or cyclopropyl,

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A is identical or different and is hydrogen, halogen, cyano, nitro, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, OR<sup>1</sup>, C<sub>3</sub>-C<sub>6</sub>-cycloalkyloxy, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyloxy, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, C<sub>2</sub>-C<sub>6</sub>-alkynyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>6</sub>-alkyl, cyano-C<sub>1</sub>-C<sub>6</sub>-alkyl, nitro-C<sub>1</sub>-C<sub>6</sub>-alkyl, phenyl, phenoxy, C(O)R<sup>1</sup>, CO<sub>2</sub>R<sup>1</sup>, C(O)NR<sup>1</sup>R<sup>2</sup>, C(S)NR<sup>1</sup>R<sup>2</sup>, NR<sup>1</sup>R<sup>2</sup>, NR<sup>1</sup>C(O)R<sup>2</sup>, NR<sup>1</sup>CO<sub>2</sub>R<sup>2</sup>, OC(O)R<sup>1</sup>, SR<sup>1</sup>, S(O)R<sup>1</sup>, S(O)<sub>2</sub>R<sup>1</sup>, -C(R<sup>1</sup>)=NR<sup>2</sup>, -N=CR<sup>1</sup>R<sup>2</sup>,

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where R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are identical or different and each is hydrogen or C<sub>1</sub>-C<sub>6</sub>-alkyl and X is S, O or NR<sup>3</sup> and n is 0 or 1 and two of the groups A<sub>m</sub> in adjacent positions may be -CH=CH-CH=CH- and

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m is 1, 2 or 3, with the exception of compounds of the formula I in which

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R is methyl and

A<sub>m</sub> is 2-chloro, 3-chloro, 4-chloro, 3,5-dichloro, 2,3,4-trichloro, 2-methyl, 4-methyl, 3-bromo, 4-nitro or hydrogen, and with the exception of compounds of the formula I in which

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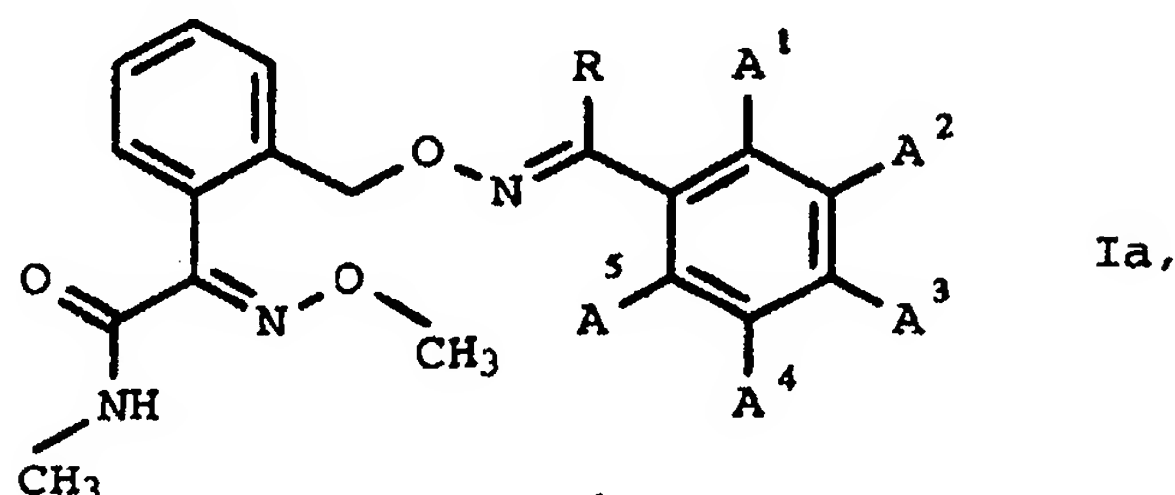
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R is cyclopropyl and

A<sub>m</sub> is hydrogen, 4-chloro, 4-tert.-butyl or 4-methoxy.

5 2. An N-methylamide of the formula Ia

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where

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R is methyl, ethyl, n-propyl or isopropyl,

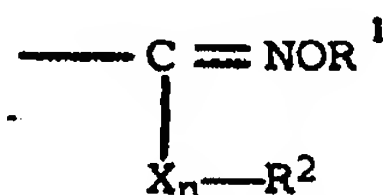
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A<sup>1</sup>, A<sup>2</sup>, A<sup>3</sup>, A<sup>4</sup> and A<sup>5</sup> are identical or different and each is hydrogen, halogen, cyano, nitro, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, OR<sup>1</sup>, C<sub>3</sub>-C<sub>6</sub>-cycloalkyloxy, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyloxy, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, C<sub>2</sub>-C<sub>6</sub>-alkynyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>6</sub>-alkyl, cyano-C<sub>1</sub>-C<sub>6</sub>-alkyl, nitro-C<sub>1</sub>-C<sub>6</sub>-alkyl, phenyl, phenoxy, C(O)R<sup>1</sup>, CO<sub>2</sub>R<sup>1</sup>, C(O)NR<sup>1</sup>R<sup>2</sup>, C(S)NR<sup>1</sup>R<sup>2</sup>, NR<sup>1</sup>R<sup>2</sup>, NR<sup>1</sup>C(O)R<sup>2</sup>, NR<sup>1</sup>CO<sub>2</sub>R<sup>2</sup>, OC(O)R<sup>1</sup>, SR<sup>1</sup>, S(O)R<sup>1</sup>, S(O)<sub>2</sub>R<sup>1</sup>,

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-C(R<sup>1</sup>)=NR<sup>2</sup>, -N=CR<sup>1</sup>R<sup>2</sup>,

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where R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are identical or different and each is hydrogen or C<sub>1</sub>-C<sub>6</sub>-alkyl and X is S, O or NR<sup>3</sup> and n is 0 or 1, and two of the groups A<sup>1</sup> to A<sup>5</sup> in adjacent positions may be -CH=CH-CH=CH-,

with the proviso that

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a) two to four of the substituents A<sup>1</sup> to A<sup>5</sup> are hydrogen,

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b) two to three of the substituents  $A^1$  to  $A^5$  are hydrogen when one of the substituents  $A^1$  to  $A^5$  is Cl, Br,  $\text{NO}_2$  or  $\text{CH}_3$ ,

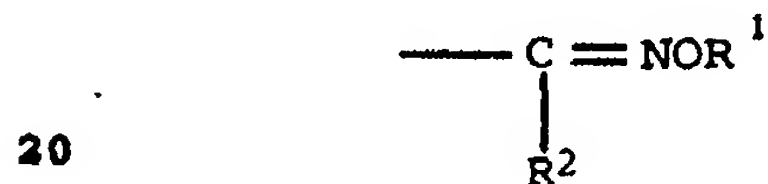
5 c) one of the halogen atoms is F or Br when  $A^2+A^4$  or  $A^1+A^2+A^3$  are at the same time halogen.

3. An N-methylamide of the formula Ia as set forth in claim 2, where

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R is methyl, ethyl, n-propyl or isopropyl,

$A^1$ ,  $A^2$ ,  $A^3$ ,  $A^4$  and  $A^5$  are identical or different and each is hydrogen, halogen, nitro, cyano,  $\text{C}_1$ - $\text{C}_6$ -alkyl,  $\text{C}_1$ - $\text{C}_6$ -alkoxy, 15  $\text{C}_1$ - $\text{C}_6$ -alkoxyalkyl,  $\text{C}_1$ - $\text{C}_6$ -haloalkyl,  $\text{C}_1$ - $\text{C}_6$ -haloalkoxy or



where  $\text{R}^1$  and  $\text{R}^2$  are identical or different and each is hydrogen or  $\text{C}_1$ - $\text{C}_6$ -alkyl, with the proviso that

25 a) two to four of the substituents  $A^1$  to  $A^5$  are hydrogen,

b) two to three of the substituents  $A^1$  to  $A^5$  are hydrogen when one of the substituents  $A^1$  to  $A^5$  is Cl, Br,  $\text{NO}_2$  or 30  $\text{CH}_3$ ,

c) one of the halogen atoms is F or Br when  $A^2+A^4$  or  $A^1+A^2+A^3$  are at the same time halogen.

35 4. An N-methylamide of the formula Ia as set forth in claim 2, where R is methyl,  $A^3$ ,  $A^4$  and  $A^5$  are hydrogen and  $A^1$  and  $A^2$  are  $-\text{CH}=\text{CH}-\text{CH}=\text{CH}-$ .

40 5. An N-methylamide of the formula Ia as set forth in claim 2, where R is methyl,  $A^1$ ,  $A^4$  and  $A^5$  are hydrogen and  $A^2$  and  $A^3$  are  $-\text{CH}=\text{CH}-\text{CH}=\text{CH}-$ .

45 6. A fungicide containing an inert carrier and a fungicidally effective amount of an N-methylamide of the formula I as set forth in claim 1.

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7. A process for combating fungi, wherein the fungi or the materials, plants, seed or the soil threatened by fungus attack are treated with a fungicidally effective amount of a compound of the formula I as set forth in claim 1.

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